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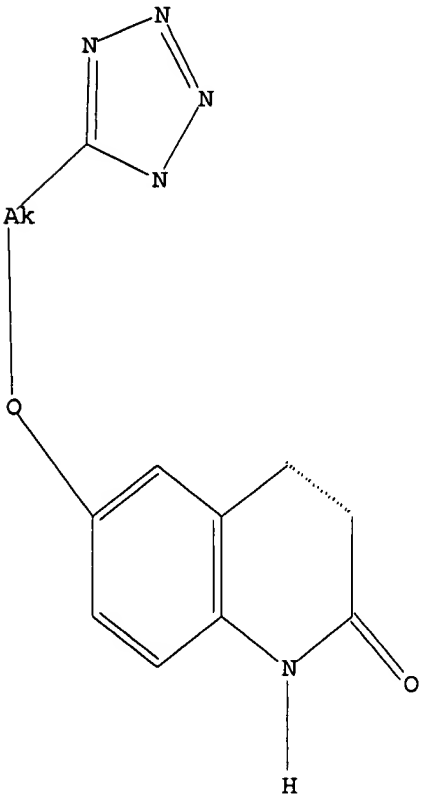


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Structure attributes must be viewed using STN Express query preparation.


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=> file ca

=> s l3
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       1333743 PHASE
        620460 TRANSFER
        799079 CATALYS?
L5        7518 PHASE TRANSFER CATALYS?
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(PHASE(W)TRANSFER(W)CATALYS?)

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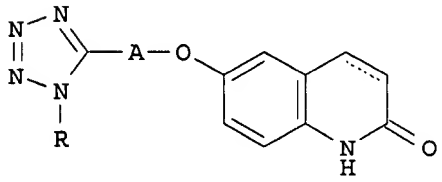
L6 ANSWER 1 OF 3 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 137:370093 CA
TITLE: Process for producing carbostyril derivative
INVENTOR(S): Aki, Shinji; Kurimura, Muneaki; Nishi, Takao; Minamikawa, Jun-ichi; Tominaga, Michiaki; Fukuyama, Norihiro; Yamamoto, Akihiro
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090351	A1	20021114	WO 2001-JP3803	20010502

W: CN, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

GI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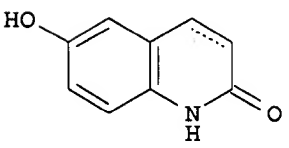
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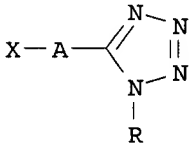

III

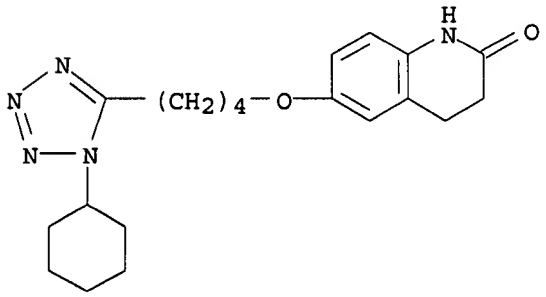
AB A novel process for producing in high yield a high-purity carbostyril deriv. (I; A = lower alkylene; R = cycloalkyl), which is known to be useful as a medicine such as an antithrombotic agent, cerebral vasodilator, antiinflammatory agent, antiulcer agent, or phosphodiesterase inhibitor, is disclosed. The carbostyril deriv. I is produced by alkylation reaction of a hydroxycarbostyril deriv. (II) with a (haloalkyl)tetrazole deriv. (III; X = halo, a group undergoing a substitution reaction similar to a halogen atom; R = same as above) in the presence of a **phase-transfer catalyst**.
Thus, 6-hydroxy-3,4-dihydrocarbostyril 10.00, 1-cyclohexyl-5-(4-chlorobutyl)-1,2,3,4-tetrazole 16.36, K2CO3 10.16, Bu4NCl 3.00, Na2SO3 0.05 g, 30 mL toluene, and 50 mL H2O were added to a 300 mL 3-neck flask

and refluxed for 8 h to give, after workup, 95% 6-[4-(1-cyclohexyl-1,2,3,4-tetrazol-5-yl)butoxy]-3,4-dihydrocarbostyril.

IT **73963-72-1P**, 6-[4-(1-Cyclohexyl-1,2,3,4-tetrazol-5-yl)butoxy]-3,4-dihydrocarbostyril
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for producing carbostyril deriv. as therapeutic agent by alkylation hydroxydihydrocarbostyril with haloalkyltetrazole in presence of **phase-transfer catalyst**)

RN 73963-72-1 CA

CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 136:183828 CA

TITLE: Preparation of cilostazol

INVENTOR(S): Mendelovichi, Marioara; Finkelstein, Nina; Pilarksi, Gideon

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014283	A1	20020221	WO 2001-US25398	20010814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084887	A5	20020225	AU 2001-84887	20010814
US 2002099213	A1	20020725	US 2001-929683	20010814

PRIORITY APPLN. INFO.: US 2000-225362P P 20000814
US 2000-190588P P 20000320

WO 2001-US25398 W 20010814

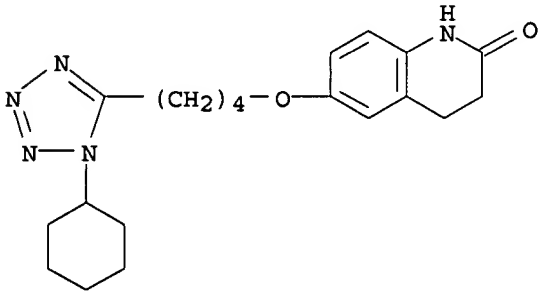
OTHER SOURCE(S): CASREACT 136:183828

AB The present invention provides processes for prepg. cilostazol {6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro-2(1H)-quinolinone} and processes for purifying the same by recrystn. Thus, 6-hydroxy-3,4-dihydroquinolinone, KOH, K2CO3, 5-(4-chlorobutyl)-1-cyclohexyl-1H-tetrazole, and n-BuOH are heated at reflux for 5 h to give 84% cilostazol. Cilostazol inhibits cell platelet aggregation and is used to treat patients with intermittent claudication (no data).

IT **73963-72-1P**, Cilostazol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cilostazol)

RN 73963-72-1 CA

CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CA COPYRIGHT 2002 ACS

ACCESSION NUMBER: 135:137510 CA

TITLE: Process for the preparation of tetrazolylalkoxycarbostyril derivatives

INVENTOR(S): Aki, Shinji; Kurimura, Muneaki; Nishi, Takao; Nankawa, Junichi; Tominaga, Michiaki; Fukuyama, Norihiro; Yamamoto, Akihiro

PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

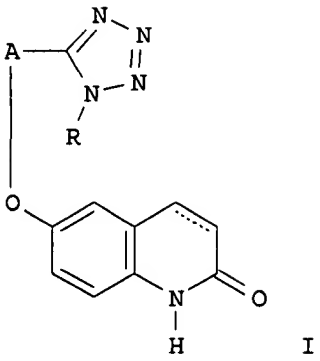
DATE

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001213877	A2	20010807	JP 2000-339018	20001107

PRIORITY APPLN. INFO.: JP 1999-332559 A 19991124

OTHER SOURCE(S): CASREACT 135:137510; MARPAT 135:137510

GI



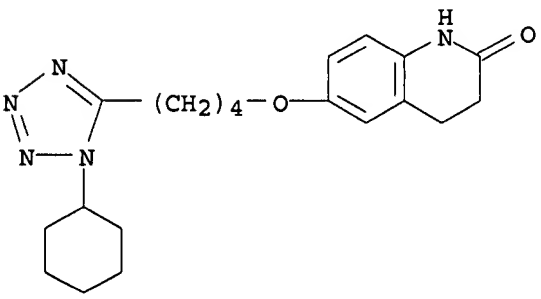
I

AB The title compds. I [A = alkylene; R = cycloalkyl; the dotted line indicates a single or double bond] are prepd., e.g. by reaction of 6-hydroxy-3,4-dihydrocarbostyril with a haloalkyltetrazole deriv. in the presence of a **phase transfer catalyst** (e.g., tetrabutylammonium chloride). I are useful as antithrombotics, inflammation inhibitors, antiulcer agents (no data), etc. 6-[4-(1-Cyclohexyl-1,2,3,4-tetrazol-5-yl)butoxy]-3,4-dihydrocarbostyril was prepd. in 95% yield by the title process.

IT **73963-72-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for prepn. of tetrazolylalkoxycarbostyril derivs.)

RN 73963-72-1 CA

CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



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L8 STRUCTURE UPLOADED

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Uploading 1.str

L9 STRUCTURE UPLOADED

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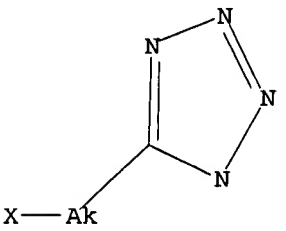
L8 STR



Structure attributes must be viewed using STN Express query preparation.

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L9 HAS NO ANSWERS
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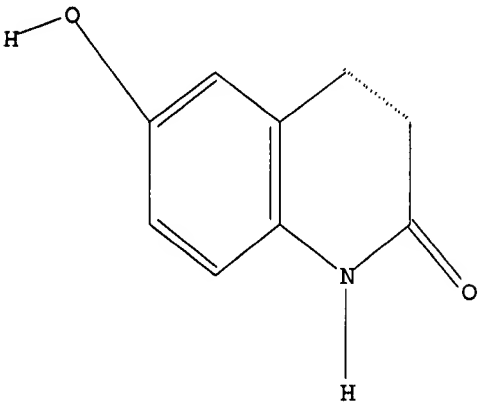

Structure attributes must be viewed using STN Express query preparation.

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L14 12 L12 AND L13

=> s l14 and l4
L15 11 L14 AND L4

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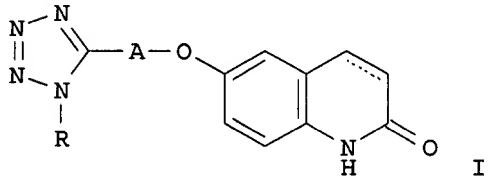
L15 ANSWER 1 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 137:370093 CA
TITLE: Process for producing carbostyril derivative
INVENTOR(S): Aki, Shinji; Kurimura, Muneaki; Nishi, Takao; Minamikawa, Jun-ichi; Tominaga, Michiaki; Fukuyama, Norihiro; Yamamoto, Akihiro
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090351	A1	20021114	WO 2001-JP3803	20010502

W: CN, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

GI



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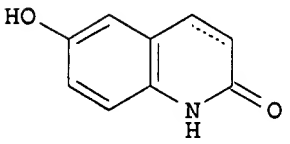

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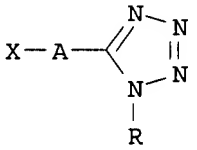


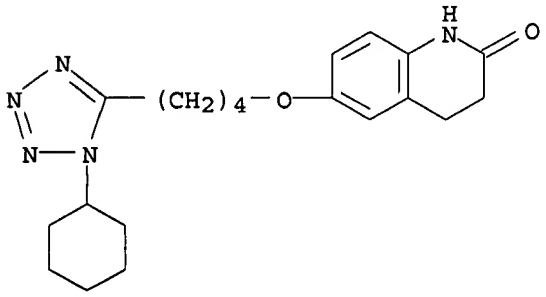
III

AB A novel process for producing in high yield a high-purity carbostyril deriv. (I; A = lower alkylene; R = cycloalkyl), which is known to be useful as a medicine such as an antithrombotic agent, cerebral vasodilator, antiinflammatory agent, antiulcer agent, or phosphodiesterase inhibitor, is disclosed. The carbostyril deriv. I is produced by alkylation reaction of a hydroxycarbostyril deriv. (II) with a (haloalkyl)tetrazole deriv. (III; X = halo, a group undergoing a substitution reaction similar to a halogen atom; R = same as above) in the presence of a phase-transfer catalyst. Thus, 6-hydroxy-3,4-dihydrocarbostyril 10.00, 1-cyclohexyl-5-(4-chlorobutyl)-1,2,3,4-tetrazole 16.36, K2CO3 10.16, Bu4NCl 3.00, Na2SO3 0.05 g, 30 mL toluene, and 50 mL H2O were added to a 300 mL 3-neck flask and refluxed for 8 h to give, after workup, 95% 6-[4-(1-cyclohexyl-1,2,3,4-tetrazol-5-yl)butoxy]-3,4-dihydrocarbostyril.

IT **73963-72-1P**, 6-[4-(1-Cyclohexyl-1,2,3,4-tetrazol-5-yl)butoxy]-3,4-dihydrocarbostyril

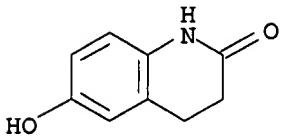
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for producing carbostyril deriv. as therapeutic agent by alkylation hydroxydihydrocarbostyril with haloalkyltetrazole in presence of phase-transfer catalyst)

RN 73963-72-1 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)

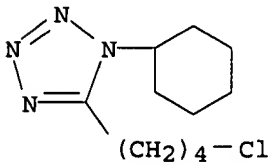


IT **54197-66-9**, 6-Hydroxy-3,4-dihydrocarbostyril **73963-42-5**, 1-Cyclohexyl-5-(4-chlorobutyl)-1,2,3,4-tetrazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for producing carbostyril deriv. as therapeutic agent by alkylation hydroxydihydrocarbostyril with haloalkyltetrazole in presence of phase-transfer catalyst)

RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:183828 CA
TITLE: Preparation of cilostazol
INVENTOR(S): Mendelovichi, Marioara; Finkelstein, Nina; Pilarksi, Gideon
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014283	A1	20020221	WO 2001-US25398	20010814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084887	A5	20020225	AU 2001-84887	20010814
US 2002099213	A1	20020725	US 2001-929683	20010814

PRIORITY APPLN. INFO.: US 2000-225362P P 20000814
US 2000-190588P P 20000320
WO 2001-US25398 W 20010814

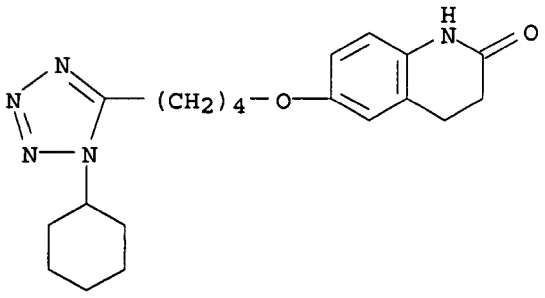
OTHER SOURCE(S): CASREACT 136:183828

AB The present invention provides processes for prepg. cilostazol {6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro-2(1H)-quinolinone} and processes for purifying the same by recrystn. Thus, 6-hydroxy-3,4-dihydroquinolinone, KOH, K2CO3, 5-(4-chlorobutyl)-1-cyclohexyl-1H-tetrazole, and n-BuOH are heated at reflux for 5 h to give 84% cilostazol. Cilostazol inhibits cell platelet aggregation and is used to treat patients with intermittent claudication (no data).

IT **73963-72-1P**, Cilostazol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cilostazol)

RN 73963-72-1 CA

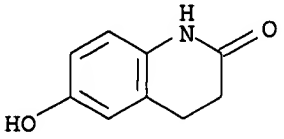
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



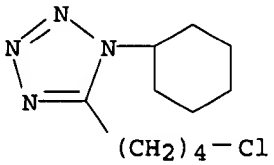
IT **54197-66-9 73963-42-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of cilostazol)

RN 54197-66-9 CA

CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)

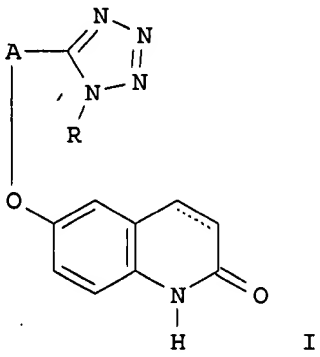


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 135:137510 CA
TITLE: Process for the preparation of tetrazolylalkoxycarbostyril derivatives
INVENTOR(S): Aki, Shinji; Kurimura, Muneaki; Nishi, Takao; Nankawa, Junichi; Tominaga, Michiaki; Fukuyama, Norihiro; Yamamoto, Akihiro
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001213877	A2	20010807	JP 2000-339018	20001107

PRIORITY APPLN. INFO.: JP 1999-332559 A 19991124
OTHER SOURCE(S): CASREACT 135:137510; MARPAT 135:137510
GI

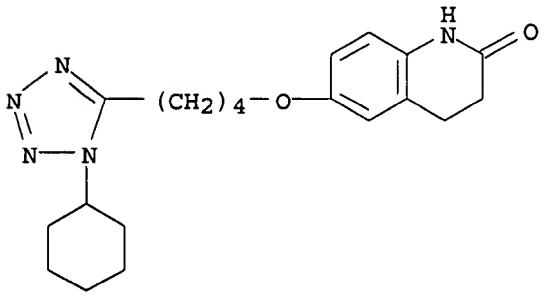


I

AB The title compds. I [A = alkylene; R = cycloalkyl; the dotted line indicates a single or double bond] are prepd., e.g. by reaction of 6-hydroxy-3,4-dihydrocarbostyril with a haloalkyltetrazole deriv. in the presence of a phase transfer catalyst (e.g., tetrabutylammonium chloride). I are useful as antithrombotics, inflammation inhibitors, antiulcer agents (no data), etc. 6-[4-(1-Cyclohexyl-1,2,3,4-tetrazol-5-yl)butoxy]-3,4-dihydrocarbostyril was prepd. in 95% yield by the title process.

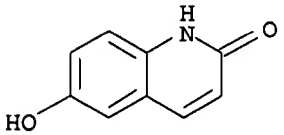
IT **73963-72-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for prepn. of tetrazolylalkoxycarbostyril derivs.)

RN 73963-72-1 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)

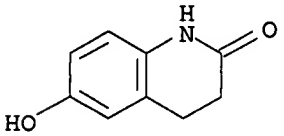


IT **19315-93-6**, 6-Hydroxycarbostyril **54197-66-9**, 6-Hydroxy-3,4-dihydrocarbostyril **73963-42-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for prepn. of tetrazolylalkoxycarbostyril derivs.)

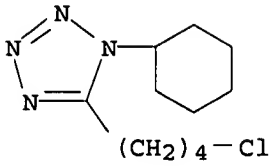
RN 19315-93-6 CA
CN 2(1H)-Quinolinone, 6-hydroxy- (9CI) (CA INDEX NAME)



RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



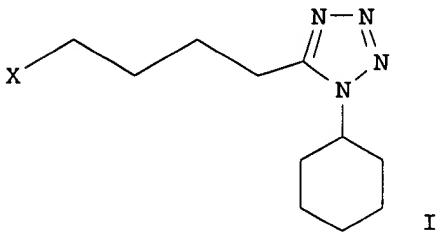
RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



L15 ANSWER 4 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:150564 CA
TITLE: Preparation of 5-halobutyl-1-cyclohexyltetrazoles
INVENTOR(S): Lee, Byon Suku; Yoo, Ji Sun
PATENT ASSIGNEE(S): Kyung Dong Pharm Co., Ltd., S. Korea
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000229953	A2	20000822	JP 1999-108015	19990415
KR 2000055711	A	20000915	KR 1999-4468	19990209

PRIORITY APPLN. INFO.: KR 1999-4468 A 19990209
OTHER SOURCE(S): CASREACT 133:150564; MARPAT 133:150564
GI

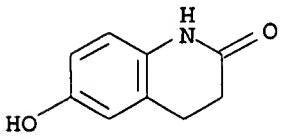


I

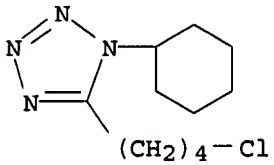
AB Title compds. I (X = Cl, Br, iodo), useful as intermediates for the thrombolytic cilostazol, are prepd. by reaction of N-cyclohexyl-5-hydroxypentanamide with sodium azide. Thus, reaction of .delta.-valerolactone with cyclohexylamine at 150.degree. for 2 h gave 97% N-cyclohexyl-5-hydroxypentanamide, chlorination of which with PCl5 in CH2Cl2 followed by refluxing with NaN3 gave 92% I (X = Cl).

IT **54197-66-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 5-halobutyl-1-cyclohexyltetrazole)

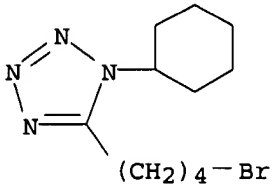
RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



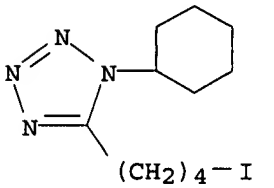
IT **73963-42-5P 287714-28-7P 287714-29-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 5-halobutyl-1-cyclohexyltetrazole)
RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



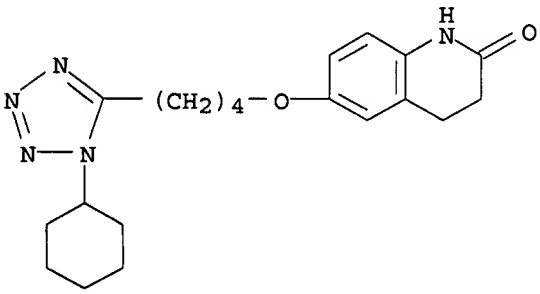
RN 287714-28-7 CA
CN 1H-Tetrazole, 5-(4-bromobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



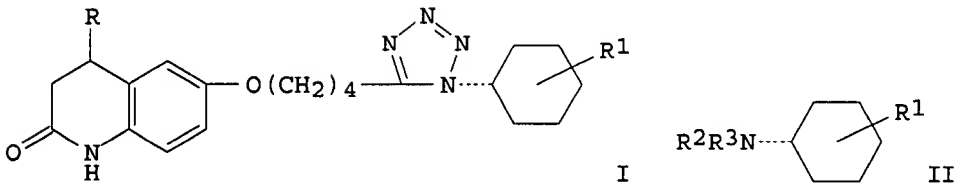
RN 287714-29-8 CA
CN 1H-Tetrazole, 1-cyclohexyl-5-(4-iodobutyl)- (9CI) (CA INDEX NAME)



IT **73963-72-1P**, Cilostazol
RL: PNU (Preparation, unclassified); PREP (Preparation)
(prepn. of 5-halobutyl-1-cyclohexyltetrazole as intermediate for cilostazol)
RN 73963-72-1 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 103:141893 CA
TITLE: Studies on 2-oxoquinoline derivatives as blood platelet aggregation inhibitors. IV. Synthesis and biological activity of the metabolites of 6-[4-(1-cyclohexyl-1H-5-tetrazolyl)butoxy]-2-oxo-1,2,3,4-tetrahydroquinoline (OPC-13013)
AUTHOR(S): Nishi, Takao; Tabusa, Fujio; Tanaka, Tatsuyoshi; Shimizu, Takefumi; Nakagawa, Kazuyuki
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1985), 33(3), 1140-7
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:141893
GI

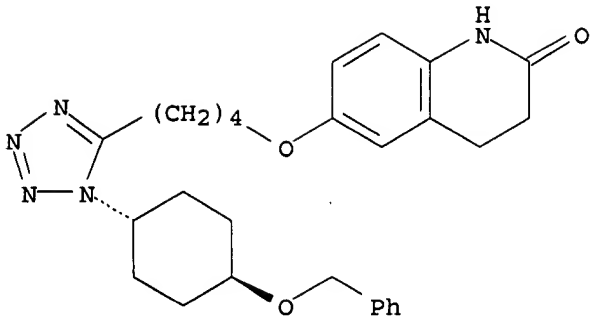


I II

AB Metabolites of (I; R = R1 = H) were prepd. Thus, cyclohexanolamides II (R1 = 2.alpha.-, 2.beta.-, 3.alpha.-, 3.beta.-, 4.alpha.-, 4.beta.-OH, R2 = H, R3 = Ac) were benzylated to give 61-84% II (R1 = OCH2Ph), which were hydrolyzed to give 76-89% II (R1 = OCH2Ph, R2 = R3 = H). Acylation of the amines with Cl(CH2)4COCl gave 80-98% II [R1 = OCH2Ph, R2 = H, R3 = CO(CH2)4Cl], which typically gave .apprx.95% II [R2R3 = N:NN:C(CH2)4Cl] upon treatment with PCl5-HN3. Coupling of these compds. with 6-hydroxy-2-oxo-1,2,3,4-tetrahydroquinoline, followed by hydrogenolysis, gave I (R = H, R1 = OH). I (R = OH, R1 = H) was also prepd. in 5 steps from 5,2-HO(O2N)C6H3CHO. I (R = H, R1 = 3.alpha.-, 4.alpha.-, 4.beta.-OH; R = OH, R1 = H) were identified as metabolites of OPC-13013, with I (R = H, R1 = 3.alpha.-4.alpha.-OH) showing almost equiv. platelet aggregation-inhibitory activities.

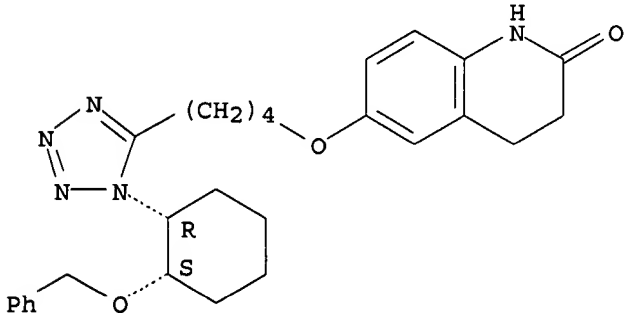
IT **89332-50-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(metabolites of, prepn. and platelet aggregation-inhibiting activity of)
RN 89332-50-3 CA
IT **87152-97-4P 87152-98-5P 87153-00-2P**
87153-12-6P 98454-54-7P 98454-55-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)
RN 87152-97-4 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[4-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



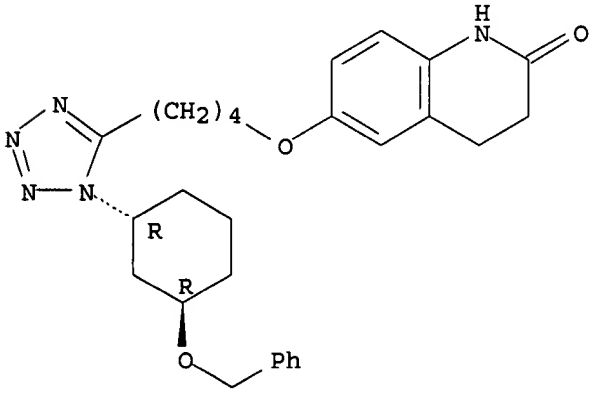
RN 87152-98-5 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[2-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



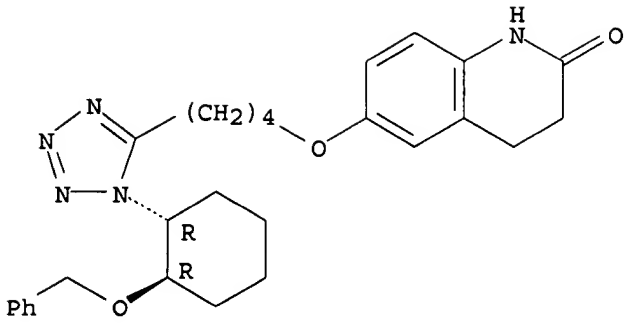
RN 87153-00-2 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[3-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



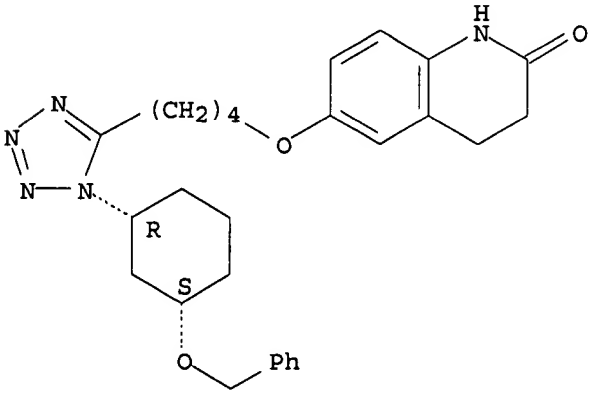
RN 87153-12-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[2-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



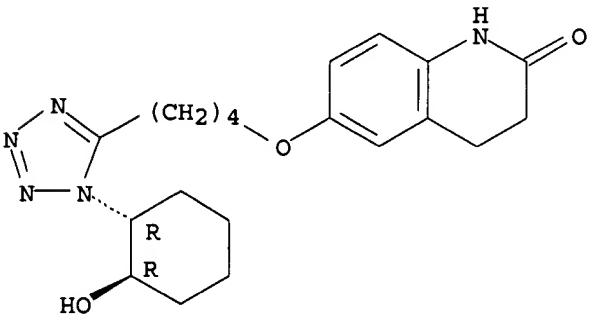
RN 98454-54-7 CA
RN 98454-55-8 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[3-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



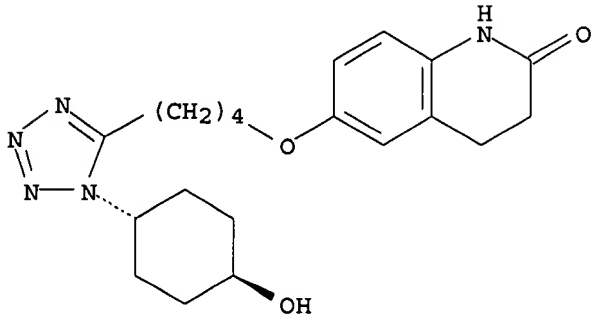
IT **87153-03-5P 87153-04-6P 87153-05-7P**
87153-06-8P 93632-84-9P 98360-32-8P
98360-33-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and inhibition of platelet aggregation by)
RN 87153-03-5 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[(1R,2R)-2-hydroxycyclohexyl]-1H-tetrazol-5-yl]butoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



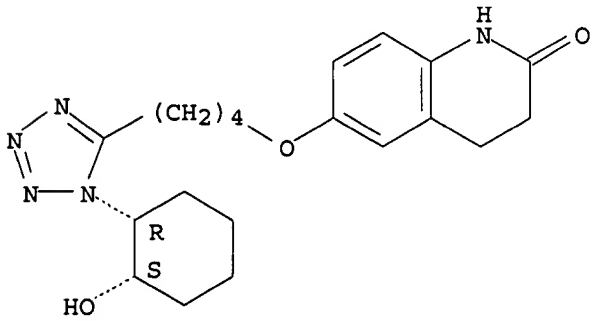
RN 87153-04-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(trans-4-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



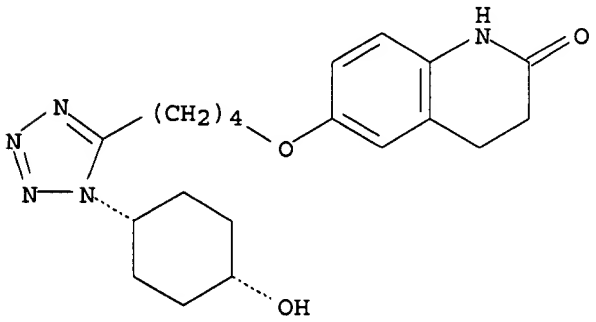
RN 87153-05-7 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(2-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



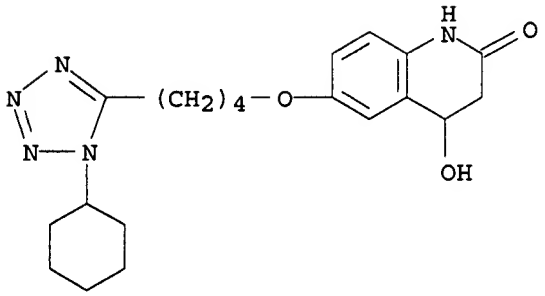
RN 87153-06-8 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(cis-4-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



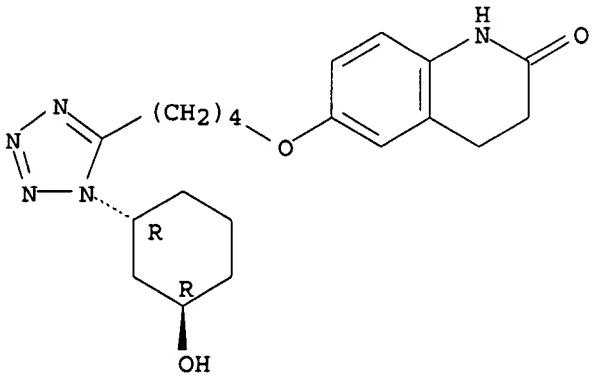
RN 93632-84-9 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro-

4-hydroxy- (9CI) (CA INDEX NAME)



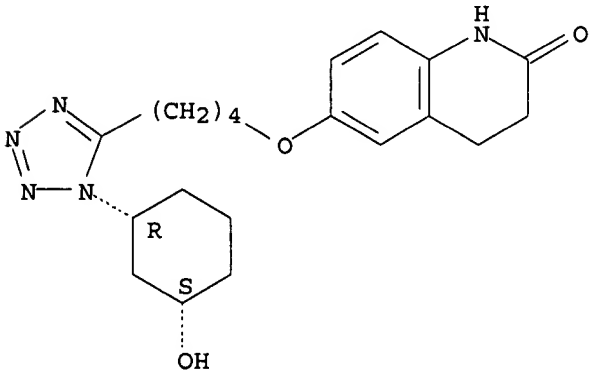
RN 98360-32-8 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(3-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 98360-33-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[(1R,3S)-3-hydroxycyclohexyl]-1H-tetrazol-5-yl]butoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

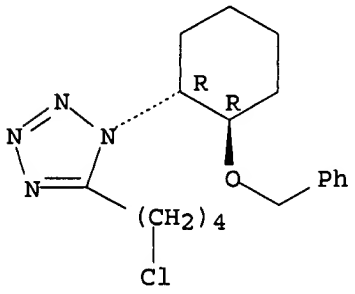


IT **87153-14-8P 98454-49-0P 98454-50-3P**
98454-51-4P 98454-52-5P 98454-53-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. and O-alkylation by, of hydroxytetrahydroquinolinone)

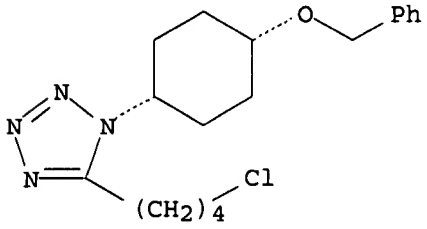
RN 87153-14-8 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[2-(phenylmethoxy)cyclohexyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



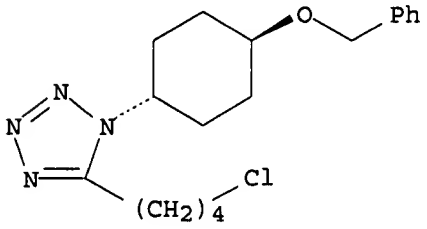
RN 98454-49-0 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[4-(phenylmethoxy)cyclohexyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



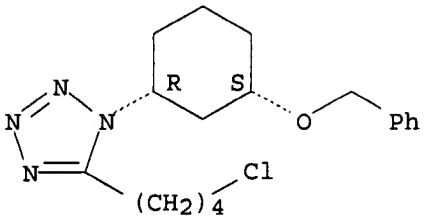
RN 98454-50-3 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[4-(phenylmethoxy)cyclohexyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



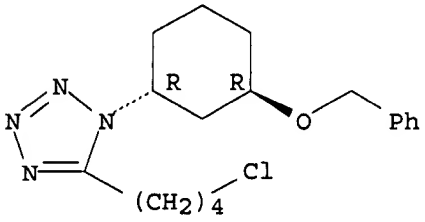
RN 98454-51-4 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[3-(phenylmethoxy)cyclohexyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



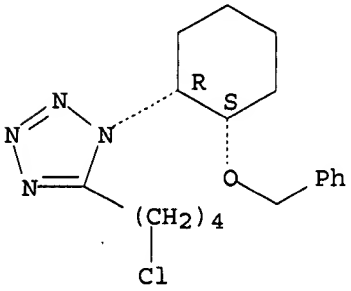
RN 98454-52-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[3-(phenylmethoxy)cyclohexyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

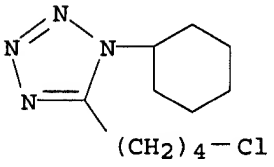


RN 98454-53-6 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[2-(phenylmethoxy)cyclohexyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

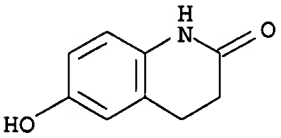


IT **73963-42-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-alkylation by, of hydroxynitrobenzaldehyde acetal)
RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



IT **54197-66-9**

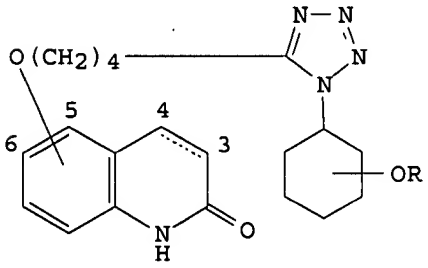
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-alkylation of, by chlorobutyl(cyclohexyl)tetrazoles)
RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



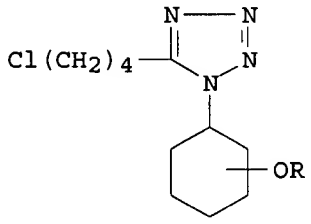
L15 ANSWER 6 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 99:175770 CA
TITLE: Carbostyrils
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58059980	A2	19830409	JP 1981-158927	19811005
JP 64000397	B4	19890106		

OTHER SOURCE(S): CASREACT 99:175770
GI

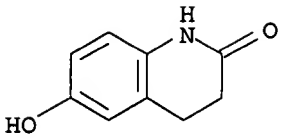


I



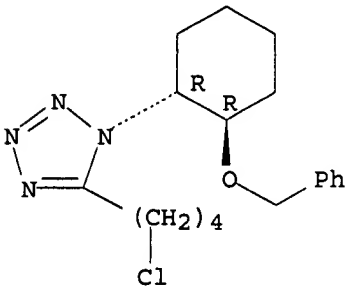
II

AB Sixteen I [5- or 6-substituted, R = CH2Ph, H, Ac, Me, (substituted) benzoyl] were prepd., e.g., by reaction of the appropriate hydroxycarbostyrils with II. Thus, refluxing 6-hydroxy-3,4-dihydrocarbostyril with II (OR = 2-trans-OCH2Ph) [obtained by cyclocondensation of trans-1-(benzyloxy)-2-(5-chlorohexanamido)cyclohexane with HN3] in Me2CHOH contg. KOH for 5 h gave I (6-substituted, OR = 2-trans-OCH2Ph, 3,4-dihydro). Some I at 10-4 M concn. inhibited blood platelet aggregation induced by collagen and ADP by 80.5-95.2 and 55.3-95.2%, resp.
IT **54197-66-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(etherification of, with (chlorobutyl)tetrazole deriv.)
RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



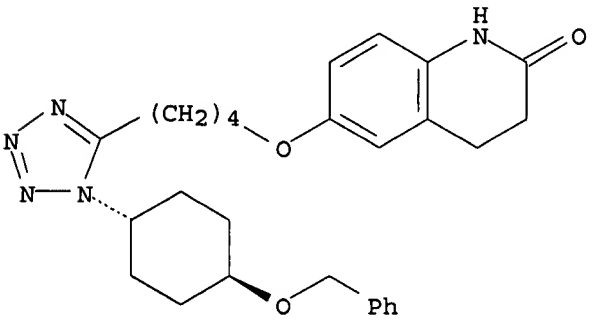
IT **87153-14-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and etherification by, of hydroxycarbostyril deriv.)
RN 87153-14-8 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[2-(phenylmethoxy)cyclohexyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **87152-97-4P 87152-98-5P 87152-99-6P**
87153-00-2P 87153-01-3P 87153-03-5P
87153-04-6P 87153-05-7P 87153-06-8P
87153-07-9P 87153-08-0P 87153-09-1P
87153-10-4P 87153-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as blood platelet aggregation inhibitor)
RN 87152-97-4 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[4-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

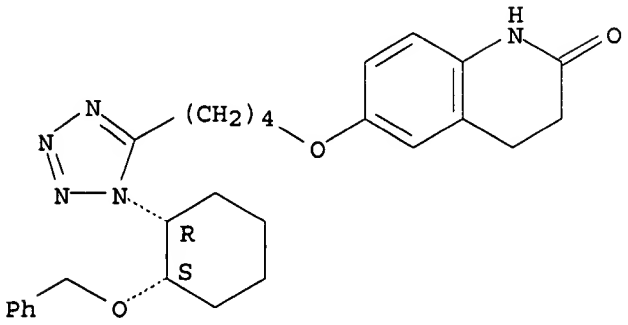
Relative stereochemistry.



RN 87152-98-5 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[2-(phenylmethoxy)cyclohexyl]-1H-

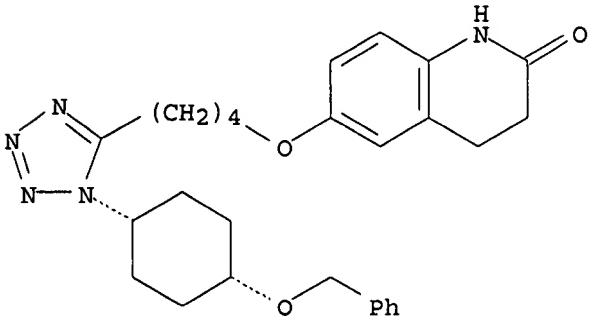
tetrazol-5-yl]butoxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



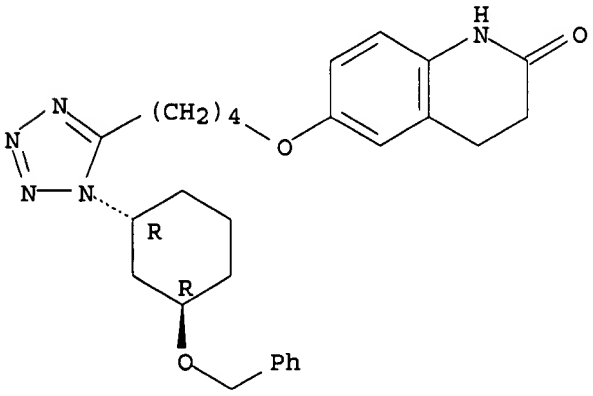
RN 87152-99-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[4-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 87153-00-2 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[3-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

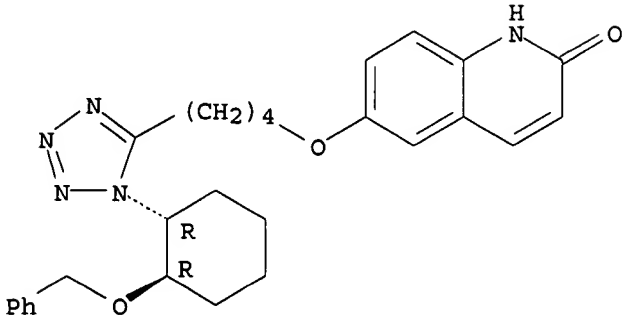
Relative stereochemistry.



RN 87153-01-3 CA
CN 2(1H)-Quinolinone, 6-[4-[1-[2-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-

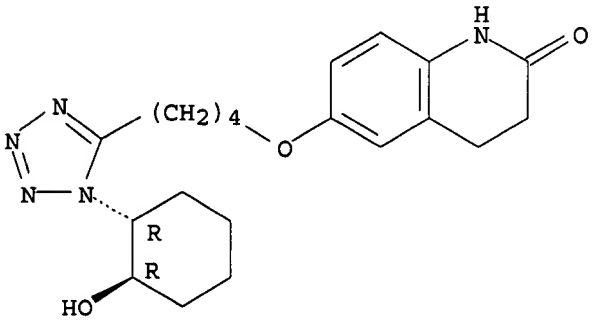
yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



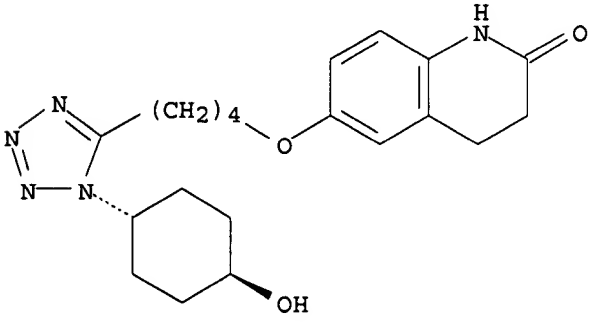
RN 87153-03-5 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[(1R,2R)-2-hydroxycyclohexyl]-1H-tetrazol-5-yl]butoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



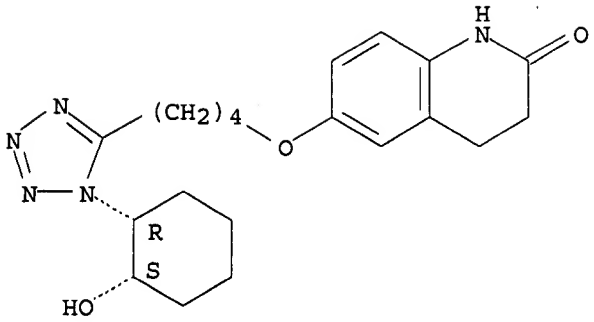
RN 87153-04-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(trans-4-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



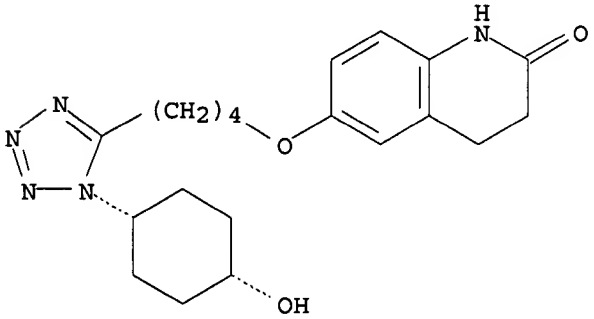
RN 87153-05-7 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(2-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



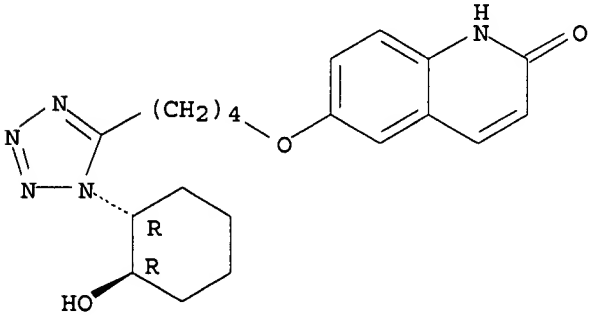
RN 87153-06-8 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(cis-4-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



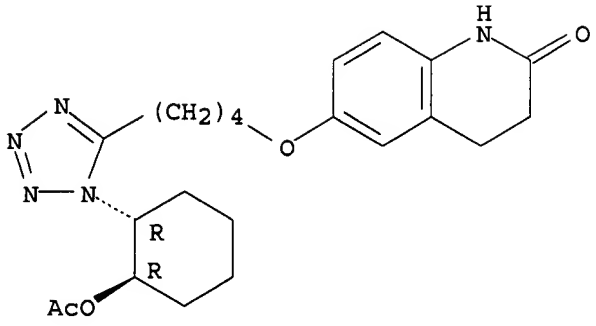
RN 87153-07-9 CA
CN 2(1H)-Quinolinone, 6-[4-[1-(2-hydroxycyclohexyl)-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



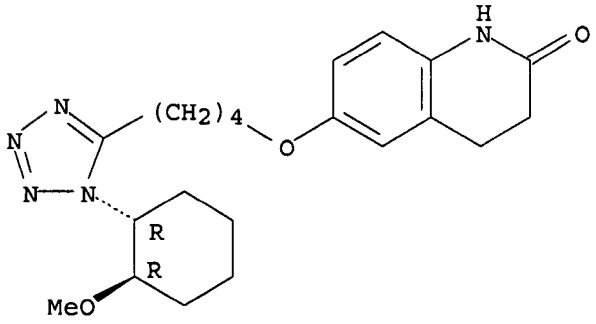
RN 87153-08-0 CA
CN 2(1H)-Quinolinone, 6-[4-[1-[2-(acetyloxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-3,4-dihydro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



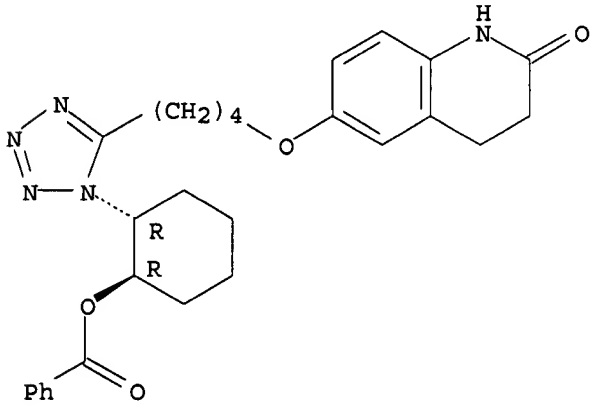
RN 87153-09-1 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(2-methoxycyclohexyl)-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



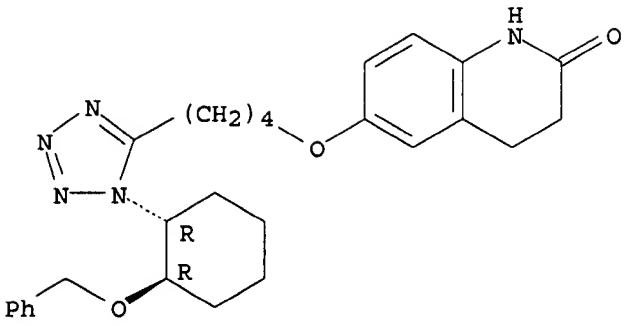
RN 87153-10-4 CA
CN 2(1H)-Quinolinone, 6-[4-[1-[2-(benzoyloxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-3,4-dihydro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 87153-12-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[2-(phenylmethoxy)cyclohexyl]-1H-tetrazol-5-yl]butoxy]-, trans- (9CI) (CA INDEX NAME)

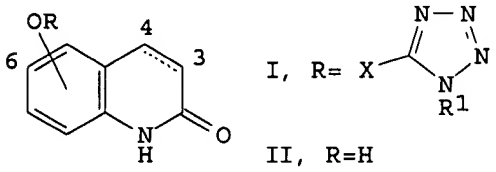
Relative stereochemistry.



L15 ANSWER 7 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 99:105294 CA
TITLE: Tetrazoles
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58077880	A2	19830511	JP 1981-177756	19811105
JP 01004518	B4	19890125		

OTHER SOURCE(S): CASREACT 99:105294
GI



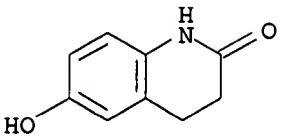
I, R= X

II, R=H

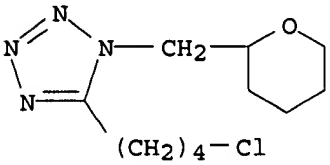
AB Tetrazole derivs. I (R1 = H, tetrahydro-2-pyranyl- or pyridylalkyl; X = alkylene) were prepd. by reaction of II with the appropriate 5-(haloalkyl)tetrazole. Thus, refluxing a mixt. of 4.2 g II (3,4-dihydro; OR = 6-OH), 1.7 g KOH, 60 mL HOCHMe2, and 10 g 1-(2-tetrahydropyranonylmethyl)-5-(4-chlorobutyl)tetrazole for 5 h gave 3.9 g I [OR = 6-[4-[1-(2-tetrahydropyranylmethyl)tetrazole-5-yl]butyl]; 3,4-dihydro]. I inhibited blood platelet degrdn. induced by collagen or ADP.

IT **54197-66-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(etherification of, with (chlorobutyl)tetrazole deriv.)

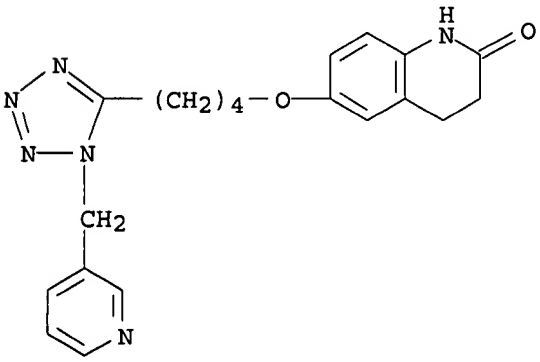
RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



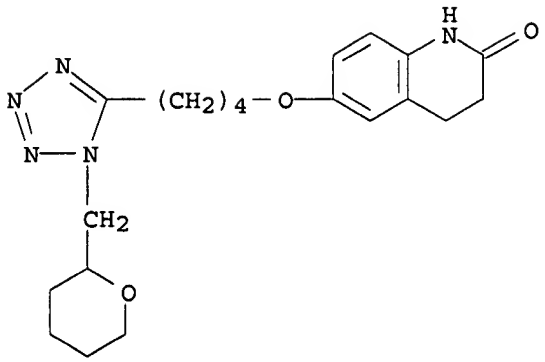
IT **86843-24-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(etherification of, with hydroxycarbostyril deriv.)
RN 86843-24-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[(tetrahydro-2H-pyran-2-yl)methyl]- (9CI) (CA INDEX NAME)



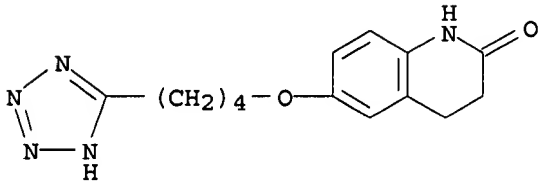
IT **86843-28-9P 86843-29-0P 86894-84-0P**
86894-85-1P 86894-86-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and blood platelet aggregation inhibition by)
RN 86843-28-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(3-pyridinylmethyl)-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)



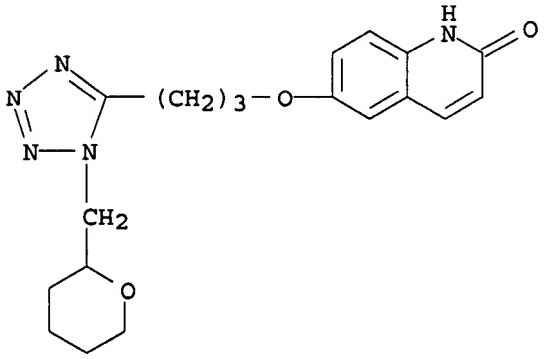
RN 86843-29-0 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[(tetrahydro-2H-pyran-2-yl)methyl]-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)



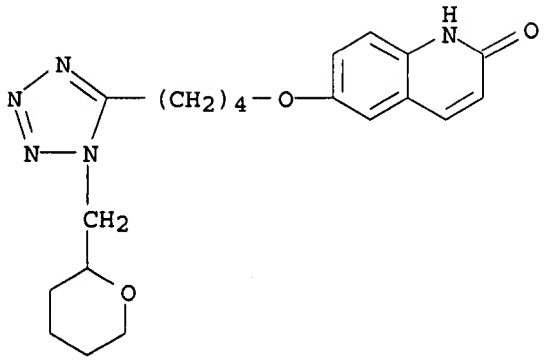
RN 86894-84-0 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-(1H-tetrazol-5-yl)butoxy]- (9CI) (CA INDEX NAME)



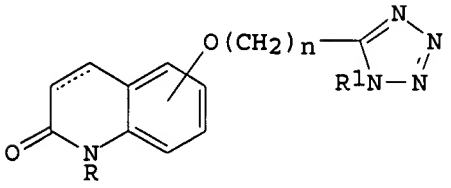
RN 86894-85-1 CA
CN 2(1H)-Quinolinone, 6-[3-[1-[(tetrahydro-2H-pyran-2-yl)methyl]-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



RN 86894-86-2 CA
CN 2(1H)-Quinolinone, 6-[4-[1-[(tetrahydro-2H-pyran-2-yl)methyl]-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)



L15 ANSWER 8 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 99:98806 CA
TITLE: Studies on 2-oxoquinoline derivatives as blood platelet aggregation inhibitors. II. 6-[3-(1-Cyclohexyl-5-tetrazolyl)propoxy]-1,2-dihydro-2-oxoquinoline and related compounds
AUTHOR(S): Nishi, Takao; Tabusa, Fujio; Tanaka, Tatsuyoshi; Shimizu, Takefumi; Kanbe, Toshimi; Kimura, Yukio; Nakagawa, Kazuyuki
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1983), 31(4), 1151-7
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:98806
GI



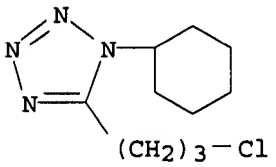
I

AB A series of .omega.-(1-substituted-5-tetrazolylalkoxy)-2-oxo-tetrahydro- or dihydro-quinolines I (R = H, Me, Et, COMe, etc; R1 = H, cyclohexyl, Et, cyclooctyl, alkylpyridine, etc.) were synthesized and tested for inhibitory activity towards collagen- and ADP-induced aggregation of rabbit blood platelets in vitro. These compds. were prepd. by the reaction of 1-substituted-5-(.omega.-chloroalkyl)-tetrazoles and hydroxy-2-oxoquinolines in the presence of a base. Among them, 6-[3-(1-cyclohexyl-5-tetrazolyl)propoxy]-1,2-dihydro-2-oxoquinoline (I; R = H, R1 = cyclohexyl) **[73963-46-9]** was found to have the most potent inhibitory activity. The structure-activity relationships are discussed.

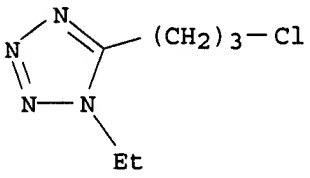
IT **73963-29-8P 73963-31-2P 73963-32-3P 73963-33-4P 73963-34-5P 73963-35-6P**

73963-36-7P 73963-37-8P 73963-38-9P
73963-42-5P 78760-12-0P 78760-13-1P
78760-14-2P 86843-22-3P 86843-23-4P
86843-24-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

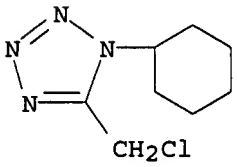
RN 73963-29-8 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



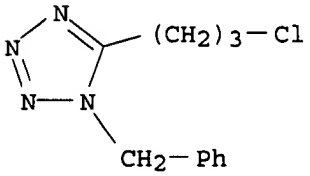
RN 73963-31-2 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-ethyl- (9CI) (CA INDEX NAME)



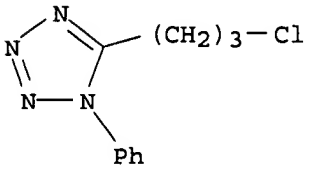
RN 73963-32-3 CA
CN 1H-Tetrazole, 5-(chloromethyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



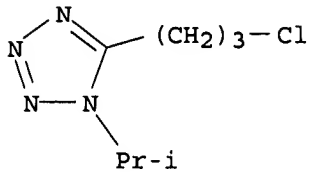
RN 73963-33-4 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



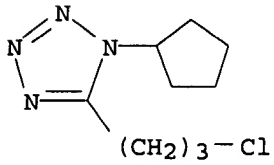
RN 73963-34-5 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-phenyl- (9CI) (CA INDEX NAME)



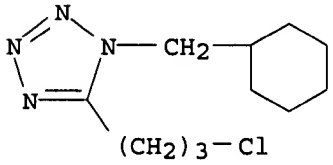
RN 73963-35-6 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



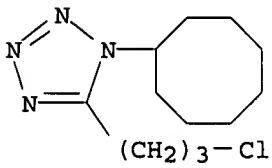
RN 73963-36-7 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclopentyl- (9CI) (CA INDEX NAME)



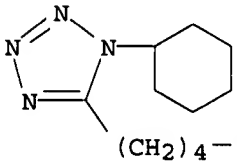
RN 73963-37-8 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)



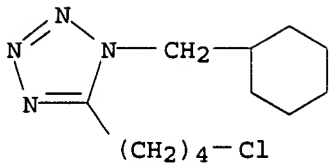
RN 73963-38-9 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclooctyl- (9CI) (CA INDEX NAME)



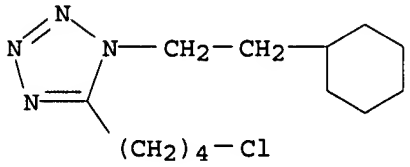
RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



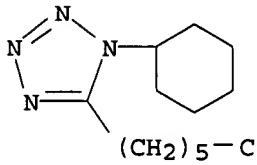
RN 78760-12-0 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)



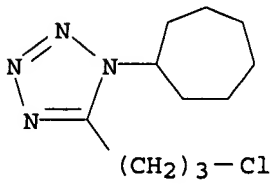
RN 78760-13-1 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-(2-cyclohexylethyl)- (9CI) (CA INDEX NAME)



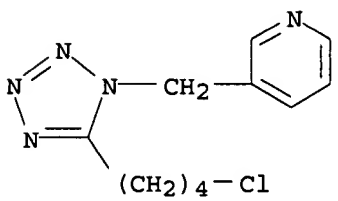
RN 78760-14-2 CA
CN 1H-Tetrazole, 5-(5-chloropentyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



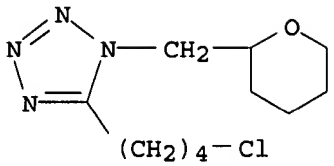
RN 86843-22-3 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cycloheptyl- (9CI) (CA INDEX NAME)



RN 86843-23-4 CA
CN Pyridine, 3-[[5-(4-chlorobutyl)-1H-tetrazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

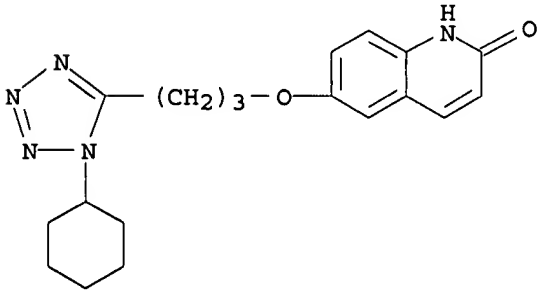


RN 86843-24-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-[(tetrahydro-2H-pyran-2-yl)methyl]- (9CI) (CA INDEX NAME)

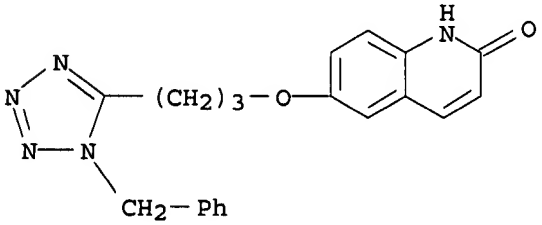


IT **73963-46-9P 73963-50-5P 73963-55-0P**
73963-56-1P 73963-59-4P 73963-60-7P
73963-61-8P 73963-62-9P 73963-69-6P
73963-70-9P 73963-71-0P 73963-72-1P
73963-77-6P 73963-91-4P 78876-16-1P
78876-17-2P 86843-25-6P 86843-26-7P
86843-27-8P 86843-28-9P 86843-29-0P
86843-32-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and blood platelet aggregating inhibitory activity of, structure in relation to)

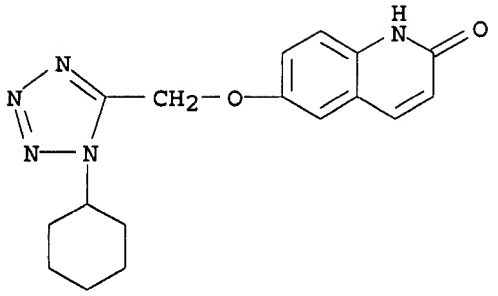
RN 73963-46-9 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



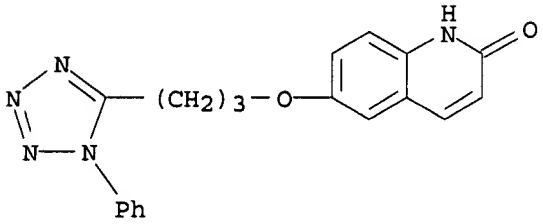
RN 73963-50-5 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(phenylmethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



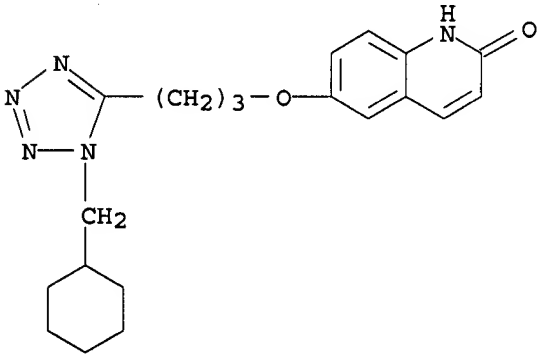
RN 73963-55-0 CA
CN 2(1H)-Quinolinone, 6-[(1-cyclohexyl-1H-tetrazol-5-yl)methoxy]- (9CI) (CA INDEX NAME)



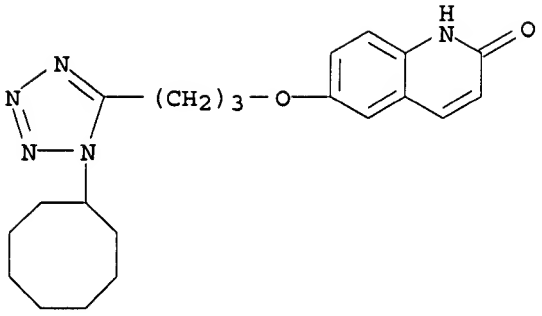
RN 73963-56-1 CA
CN 2(1H)-Quinolinone, 6-[3-(1-phenyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



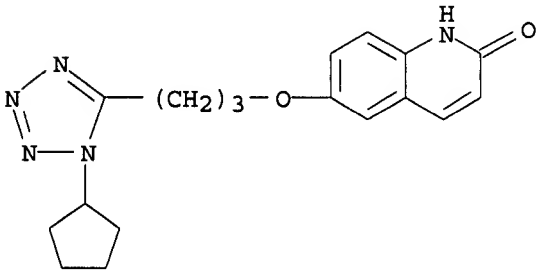
RN 73963-59-4 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(cyclohexylmethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



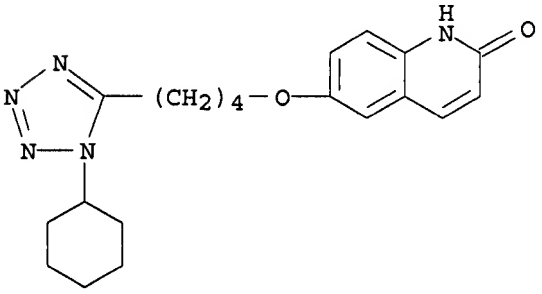
RN 73963-60-7 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclooctyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



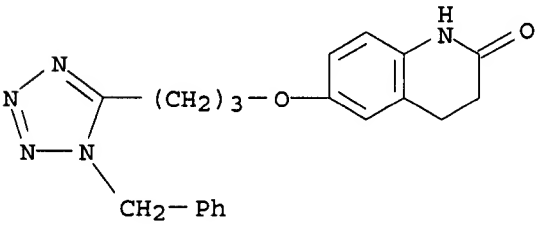
RN 73963-61-8 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclopentyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



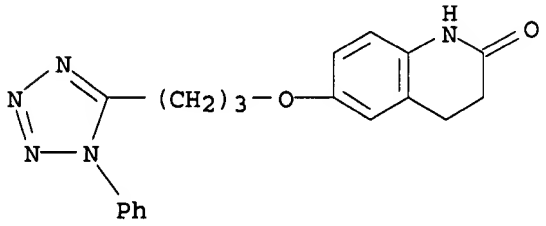
RN 73963-62-9 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]- (9CI) (CA INDEX NAME)



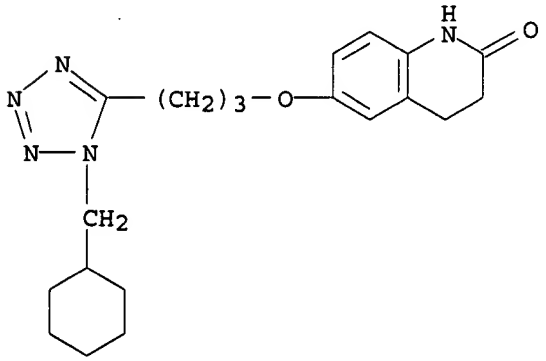
RN 73963-69-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-[1-(phenylmethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



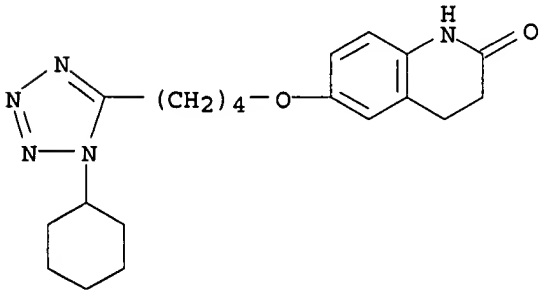
RN 73963-70-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-(1-phenyl-1H-tetrazol-5-yl)propoxy]-
(9CI) (CA INDEX NAME)



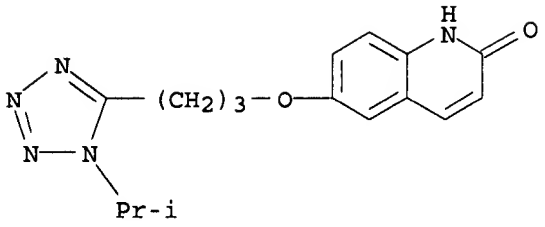
RN 73963-71-0 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(cyclohexylmethyl)-1H-tetrazol-5-yl]propoxy]-
3,4-dihydro- (9CI) (CA INDEX NAME)



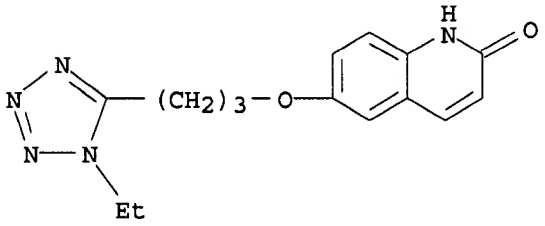
RN 73963-72-1 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro-
(9CI) (CA INDEX NAME)



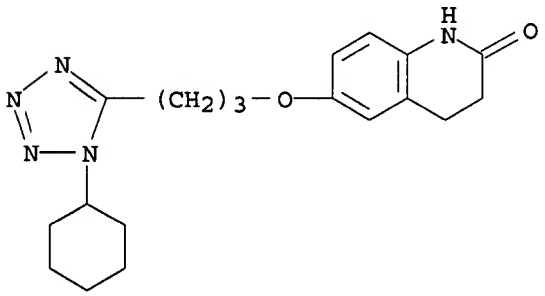
RN 73963-77-6 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(1-methylethyl)-1H-tetrazol-5-yl]propoxy]-
(9CI) (CA INDEX NAME)



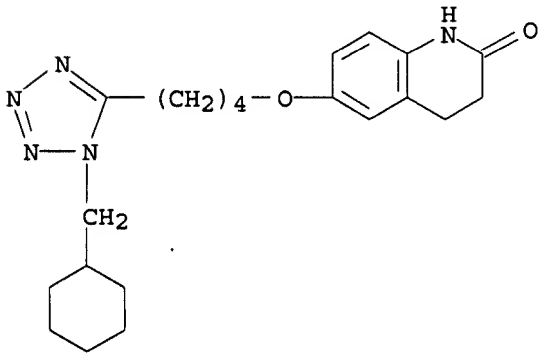
RN 73963-91-4 CA
CN 2(1H)-Quinolinone, 6-[3-(1-ethyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



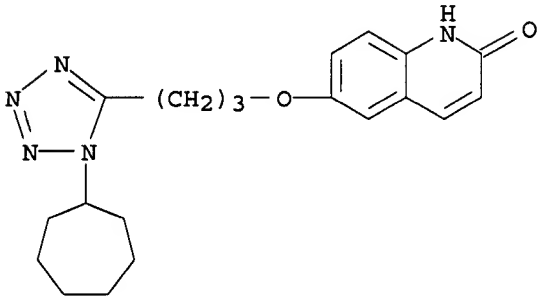
RN 78876-16-1 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



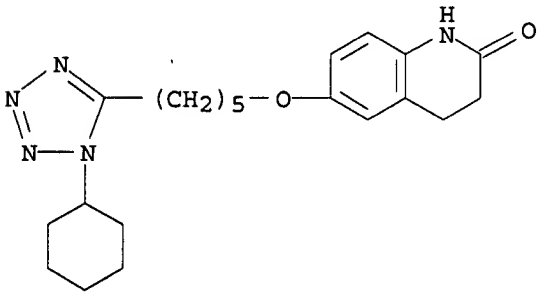
RN 78876-17-2 CA
CN 2(1H)-Quinolinone, 6-[4-[1-(cyclohexylmethyl)-1H-tetrazol-5-yl]butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



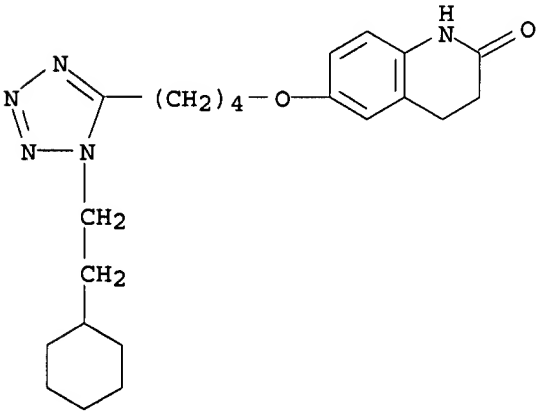
RN 86843-25-6 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cycloheptyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



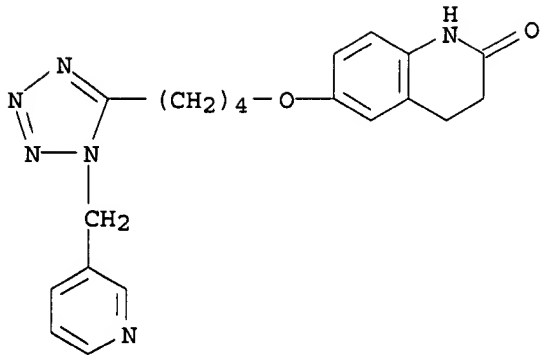
RN 86843-26-7 CA
CN 2(1H)-Quinolinone, 6-[[5-(1-cyclohexyl-1H-tetrazol-5-yl)pentyl]oxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



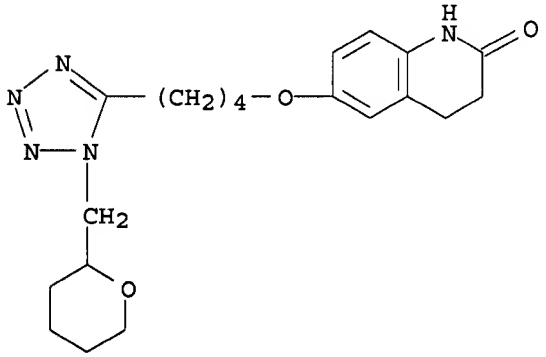
RN 86843-27-8 CA
CN 2(1H)-Quinolinone, 6-[4-[1-(2-cyclohexylethyl)-1H-tetrazol-5-yl]butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



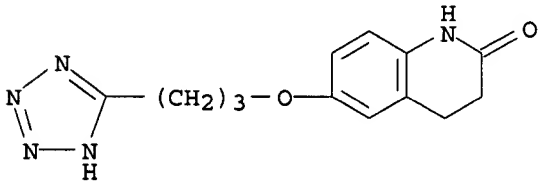
RN 86843-28-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-(3-pyridinylmethyl)-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)



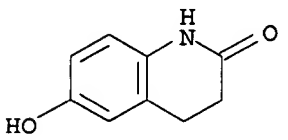
RN 86843-29-0 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[4-[1-[(tetrahydro-2H-pyran-2-yl)methyl]-1H-tetrazol-5-yl]butoxy]- (9CI) (CA INDEX NAME)



RN 86843-32-5 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-(1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



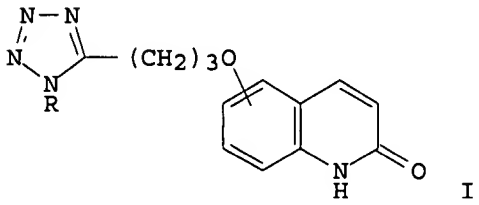
IT **54197-66-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (chlorobutyl)cyclohexyltetrazole)
RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



L15 ANSWER 9 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 95:126250 CA
TITLE: Carbostyril derivatives as phosphodiesterase inhibitors
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56045414	A2	19810425	JP 1979-121262	19790919
JP 62058336	B4	19871205		

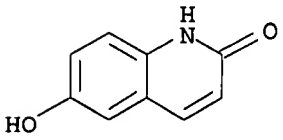
GI



I

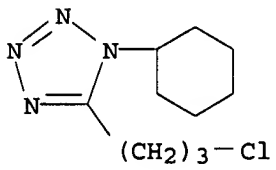
AB Tetrazolylpropoxycarbostyril derivs. (I, R = lower alkyl or cycloalkyl) are phosphodiesterase [9025-82-5] inhibitors, useful as antihypertensives, and improve blood circulation. Thus, 6-[3-(1-cyclohexyltetrazol-5-yl)propoxy]carbostyril (II) [**73963-46-9**] was synthesized by treating 6-hydroxycarbostyril [**19315-93-6**] with 1-cyclohexyl-5-(.gamma.-chloropropyl)tetrazole [**73963-29-8**], prepd. by cyclization of N-(.gamma.-chlorobutyl)cyclohexylamine [78730-53-7] in the presence of hydrazoic acid. II at 10-9M inhibited phosphodiesterase 92.9% in the enzyme prepn. from isolated blood platelets. I.v. injection of II at 30 .mu.g/kg into dogs increased blood circulation in the brain 36.9%. Antihypertensive activity of II (30 mg/kg, orally) in rats was also demonstrated.

IT **19315-93-6**
RL: BIOL (Biological study)
(condensation of, with cyclohexyl(chloropropyl)tetrazole)
RN 19315-93-6 CA
CN 2(1H)-Quinolinone, 6-hydroxy- (9CI) (CA INDEX NAME)

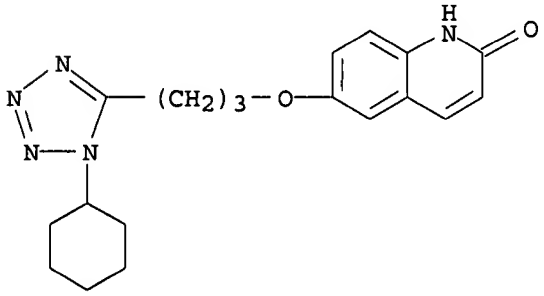


IT **73963-29-8**
RL: BIOL (Biological study)
(condensation of, with hydroxycarbostyril)

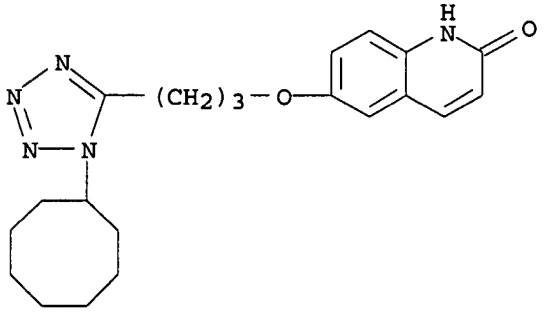
RN 73963-29-8 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



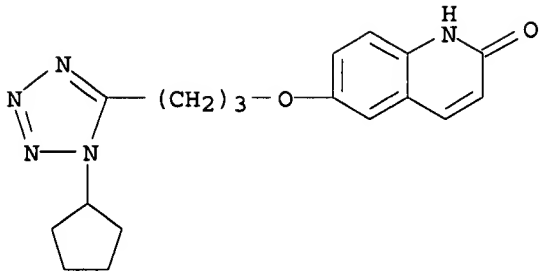
IT **73963-46-9P 73963-60-7P 73963-61-8P**
73963-77-6P 73963-91-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as phosphodiesterase inhibitor)
RN 73963-46-9 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]- (9CI)
(CA INDEX NAME)



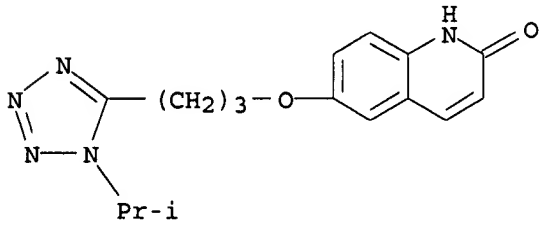
RN 73963-60-7 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclooctyl-1H-tetrazol-5-yl)propoxy]- (9CI)
(CA INDEX NAME)



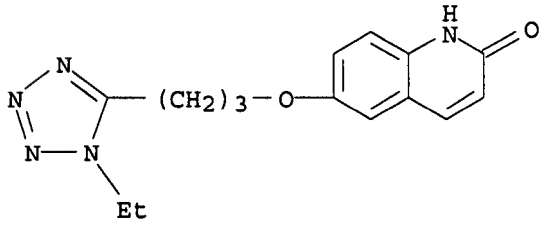
RN 73963-61-8 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclopentyl-1H-tetrazol-5-yl)propoxy]- (9CI)
(CA INDEX NAME)



RN 73963-77-6 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(1-methylethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



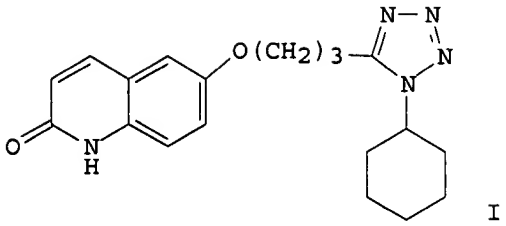
RN 73963-91-4 CA
CN 2(1H)-Quinolinone, 6-[3-(1-ethyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



L15 ANSWER 10 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 95:126248 CA
TITLE: Carbostyril anticoagulants
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56046810	A2	19810428	JP 1979-123616	19790925
JP 62058335	B4	19871205		

GI



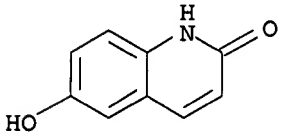
I

AB Tetrazolylpropoxycarbostyril derivs. are anticoagulants. Thus, 6-[3-(1-cyclohexyltetrazol-5-yl)propoxy]carbostyril (I) [**73963-46-9**] was synthesized by treating 6-hydroxycarbostyril [**19315-93-6**] with 1-cyclohexyl-5-(.gamma.-chloropropyl)tetrazole [**73963-29-8**] which had been prepd. from N-.gamma.-chlorobutyrylcyclohexylamine [78730-53-7]. I at 10-4 mol in 0.01 mL, to which 0.6 mL of blood coagulation sample was added, reversed the coagulation 100%.

IT **19315-93-6**
RL: BIOL (Biological study)
(condensation of, with cyclohexylchloropropyltetrazole)

RN 19315-93-6 CA

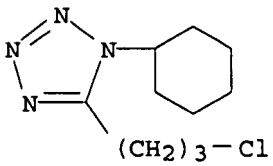
CN 2(1H)-Quinolinone, 6-hydroxy- (9CI) (CA INDEX NAME)



IT **73963-29-8**
RL: BIOL (Biological study)
(condensation of, with hydroxycarbostyril)

RN 73963-29-8 CA

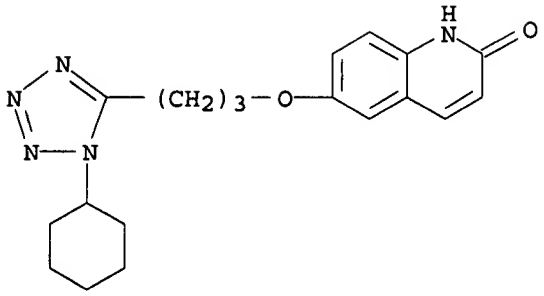
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



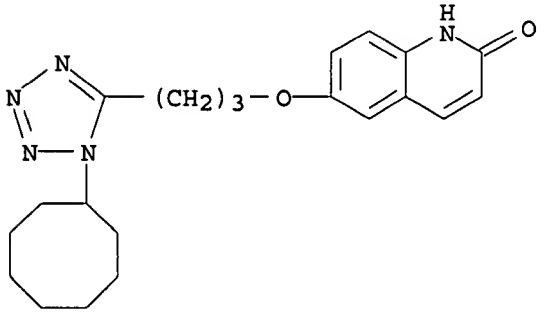
IT **73963-46-9P 73963-60-7P 73963-61-8P**
73963-77-6P 73963-91-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticoagulant activity of)

RN 73963-46-9 CA

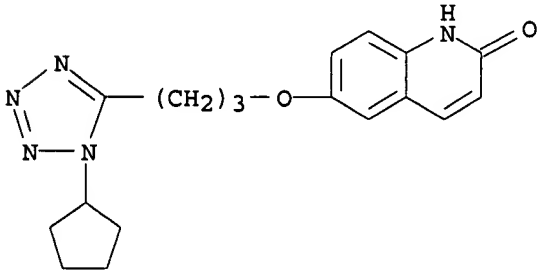
CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



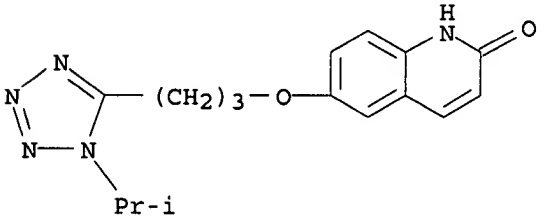
RN 73963-60-7 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclooctyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



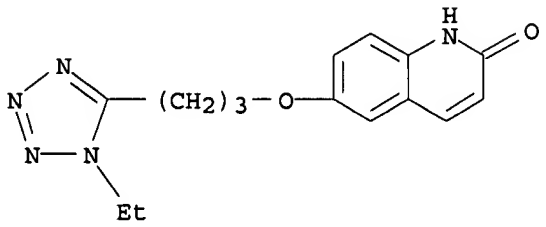
RN 73963-61-8 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclopentyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



RN 73963-77-6 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(1-methylethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



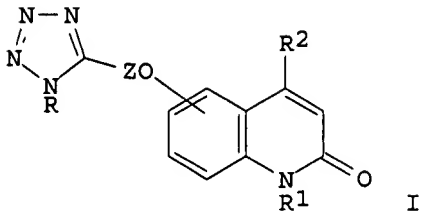
RN 73963-91-4 CA
CN 2(1H)-Quinolinone, 6-[3-(1-ethyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)

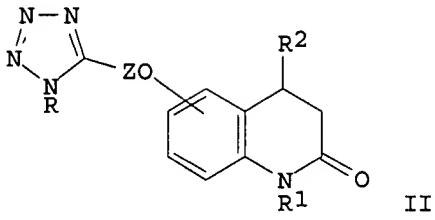


L15 ANSWER 11 OF 11 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 93:26293 CA
TITLE: Therapeutic tetrazolylalkoxycarbostyril derivatives
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Belg., 47 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 878548	A1	19791217	BE 1979-196976	19790831
JP 55035019	A2	19800311	JP 1978-107869	19780901
JP 61055514	B4	19861128		
CA 1139761	A1	19830118	CA 1979-334272	19790822
DE 2934747	A1	19800313	DE 1979-2934747	19790828
DE 2934747	C2	19880128		
AU 7950397	A1	19800306	AU 1979-50397	19790829
AU 538410	B2	19840816		
US 4277479	A	19810707	US 1979-70710	19790829
DK 7903631	A	19800302	DK 1979-3631	19790830
DK 158788	B	19900716		
DK 158788	C	19901210		
FI 7902699	A	19800302	FI 1979-2699	19790830
FI 68398	B	19850531		
FI 68398	C	19850910		
NL 7906523	A	19800304	NL 1979-6523	19790830
NL 183888	B	19880916		
NL 183888	C	19890216		
SU 1064868	A3	19831230	SU 1979-2804457	19790830
SE 7907236	A	19800302	SE 1979-7236	19790831
SE 432252	B	19840326		
SE 432252	C	19840705		
NO 7902829	A	19800304	NO 1979-2829	19790831
NO 153177	B	19851021		
NO 153177	C	19860129		
FR 2434809	A1	19800328	FR 1979-21869	19790831
FR 2434809	B1	19820917		
ZA 7904627	A	19800827	ZA 1979-4627	19790831
ES 483792	A1	19800901	ES 1979-483792	19790831
CH 641799	A	19840315	CH 1979-7920	19790831
GB 2033893	A	19800529	GB 1979-30520	19790903

GB 2033893 B2 19821201
AT 7905845 A 19810315 AT 1979-5845 19790903
AT 364363 B 19811012
PRIORITY APPLN. INFO.: JP 1978-107869 19780901
GI

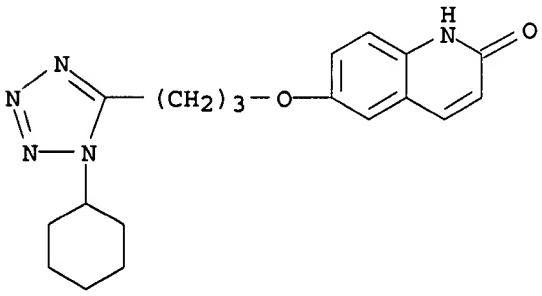

I


II

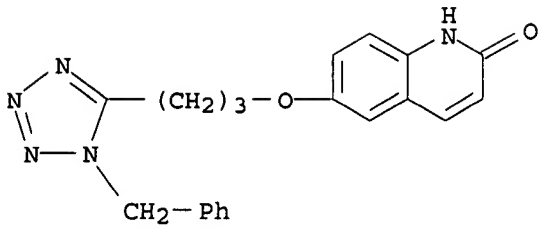
AB Monohydroxycarbostyrils were O-alkylated by 5-(.omega.-haloalkyl)tetrazoles to give (tetrazolylalkoxy)carboxstyrils I and II [R = alkyl, cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl; Z = alkylene (the tetrazolylalkoxy group is in the 4-, 5-, 6-, 7-, or 8-position); R1 = H, alkyl, alkenyl, alkanoyl, benzoyl, phenylalkyl; or R2 = H, alkyl], which inhibited blood platelet aggregation, inhibited cyclic AMP phosphodiesterase, and showed vasodilator activity; I and II are useful as antiinflammatory and anti-ulcer agents (no data). 6-Hydroxycarbostyril reacted with 1-cyclohexyl-5-(3-chloropropyl)tetrazole and K2CO3 in DMF at 70-80.degree. to give the resp. I [R1 = R2 = H, Z = (CH2)3, R = cyclohexyl].

IT **73963-46-9P 73963-50-5P 73963-56-1P**
73963-59-4P 73963-60-7P 73963-62-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of)

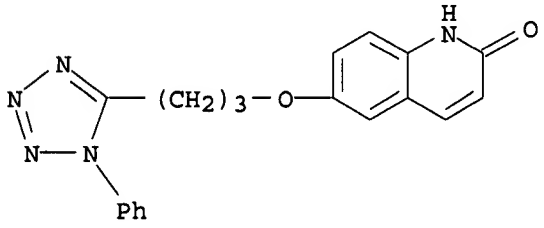
RN 73963-46-9 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



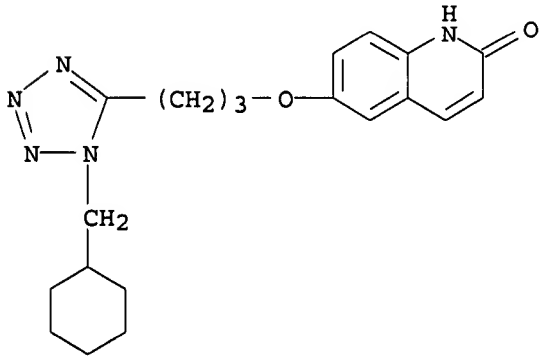
RN 73963-50-5 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(phenylmethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



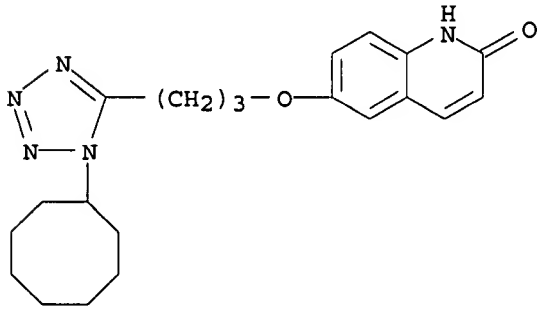
RN 73963-56-1 CA
CN 2(1H)-Quinolinone, 6-[3-(1-phenyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



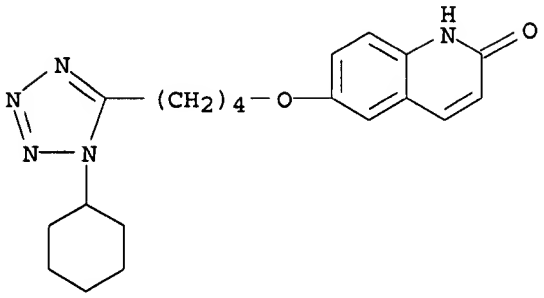
RN 73963-59-4 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(cyclohexylmethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



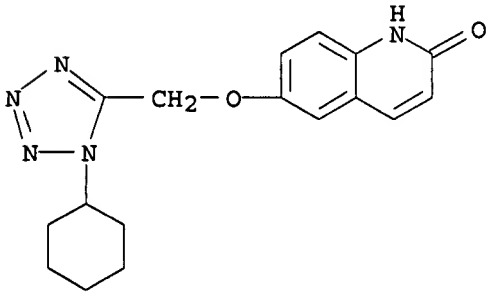
RN 73963-60-7 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclooctyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



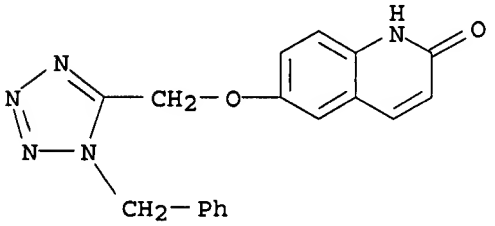
RN 73963-62-9 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]- (9CI) (CA INDEX NAME)



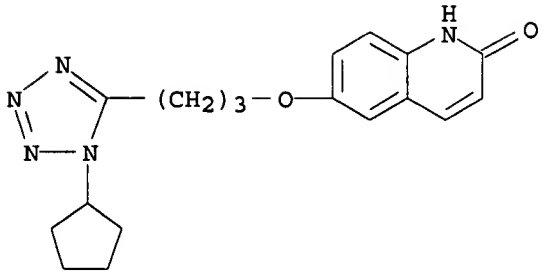
IT **73963-55-0P 73963-58-3P 73963-61-8P**
73963-69-6P 73963-70-9P 73963-71-0P
73963-72-1P 73963-75-4P 73963-89-0P
73963-90-3P 73963-91-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 73963-55-0 CA
CN 2(1H)-Quinolinone, 6-[(1-cyclohexyl-1H-tetrazol-5-yl)methoxy]- (9CI) (CA INDEX NAME)



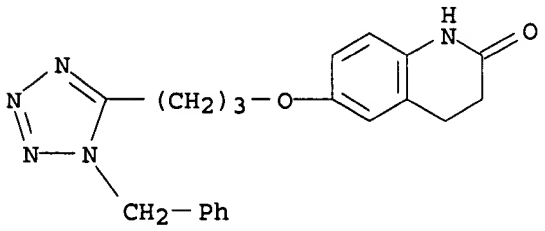
RN 73963-58-3 CA
CN 2(1H)-Quinolinone, 6-[[1-(phenylmethyl)-1H-tetrazol-5-yl]methoxy]- (9CI) (CA INDEX NAME)



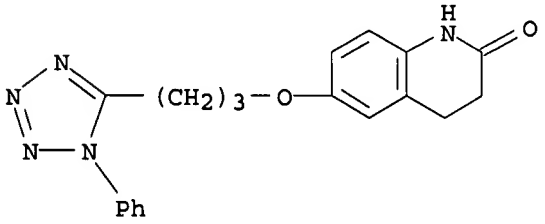
RN 73963-61-8 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclopentyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



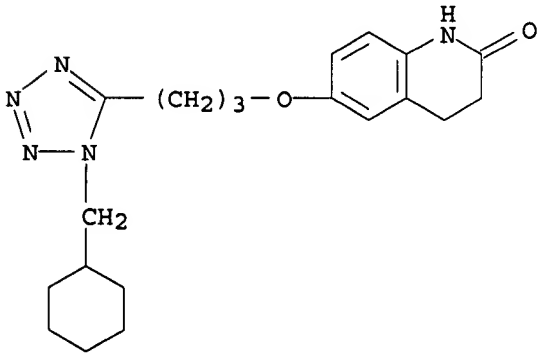
RN 73963-69-6 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-[1-(phenylmethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



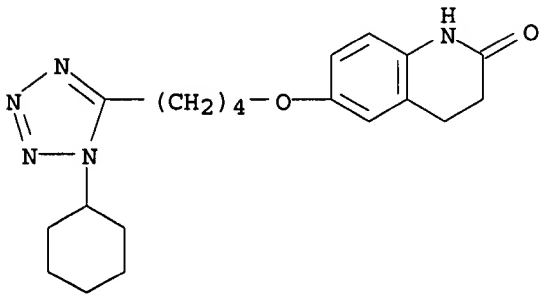
RN 73963-70-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-(1-phenyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



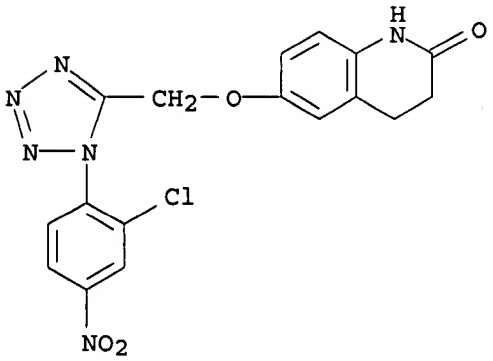
RN 73963-71-0 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(cyclohexylmethyl)-1H-tetrazol-5-yl]propoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



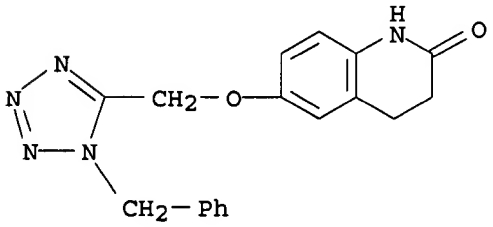
RN 73963-72-1 CA
CN 2(1H)-Quinolinone, 6-[4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



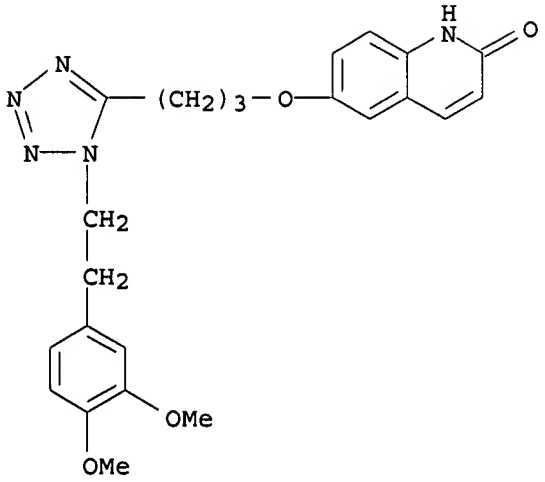
RN 73963-75-4 CA
CN 2(1H)-Quinolinone, 6-[[1-(2-chloro-4-nitrophenyl)-1H-tetrazol-5-yl]methoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



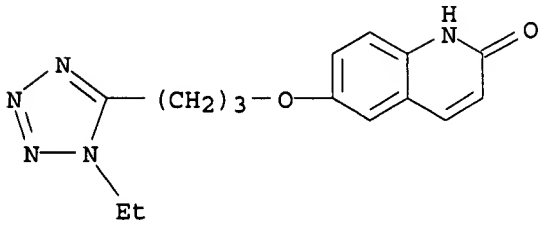
RN 73963-89-0 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[[1-(phenylmethyl)-1H-tetrazol-5-yl]methoxy]- (9CI) (CA INDEX NAME)



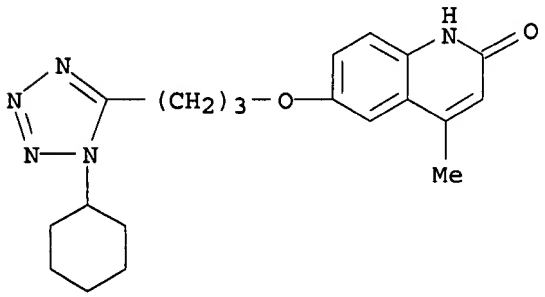
RN 73963-90-3 CA
CN 2(1H)-Quinolinone, 6-[3-[1-[2-(3,4-dimethoxyphenyl)ethyl]-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



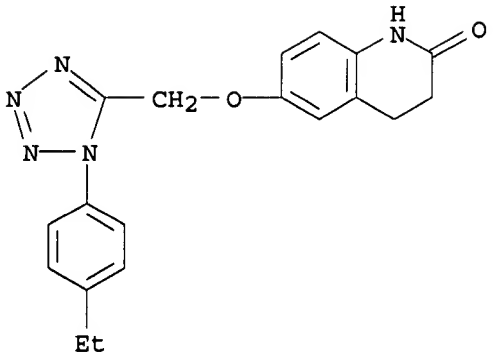
RN 73963-91-4 CA
CN 2(1H)-Quinolinone, 6-[3-(1-ethyl-1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



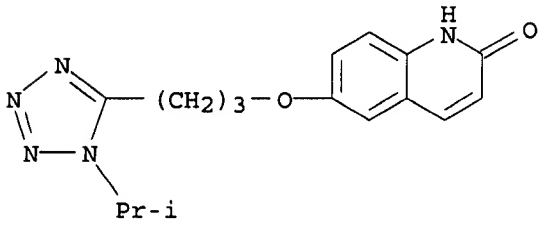
IT **73963-57-2P 73963-76-5P 73963-77-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, and inhibition of blood platelet aggregation by)
RN 73963-57-2 CA
CN 2(1H)-Quinolinone, 6-[3-(1-cyclohexyl-1H-tetrazol-5-yl)propoxy]-4-methyl- (9CI) (CA INDEX NAME)



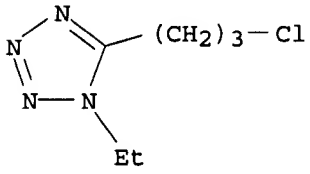
RN 73963-76-5 CA
CN 2(1H)-Quinolinone, 6-[[1-(4-ethylphenyl)-1H-tetrazol-5-yl]methoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



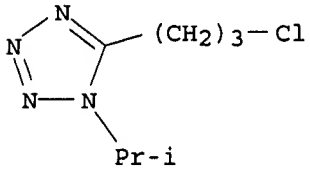
RN 73963-77-6 CA
CN 2(1H)-Quinolinone, 6-[3-[1-(1-methylethyl)-1H-tetrazol-5-yl]propoxy]- (9CI) (CA INDEX NAME)



IT **73963-31-2P 73963-35-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, and O-alkylation of hydroxycarbostyril by)
RN 73963-31-2 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-ethyl- (9CI) (CA INDEX NAME)

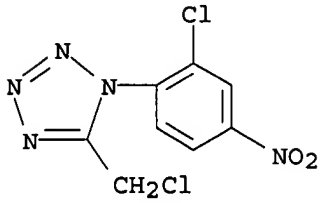


RN 73963-35-6 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

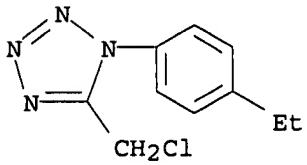


IT **73963-44-7P 73963-45-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, and O-alkylation of hydroxycarbostyril deriv. by)
RN 73963-44-7 CA
CN 1H-Tetrazole, 5-(chloromethyl)-1-(2-chloro-4-nitrophenyl)- (9CI) (CA

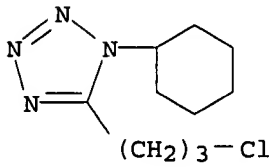
INDEX NAME)



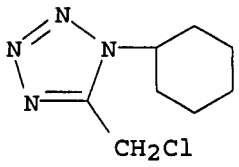
RN 73963-45-8 CA
CN 1H-Tetrazole, 5-(chloromethyl)-1-(4-ethylphenyl)- (9CI) (CA INDEX NAME)



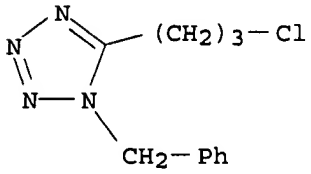
IT **73963-29-8P 73963-32-3P 73963-33-4P**
73963-34-5P 73963-36-7P 73963-37-8P
73963-38-9P 73963-42-5P 73963-43-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, and O-alkylation of hydroxycarbostyrils by)
RN 73963-29-8 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



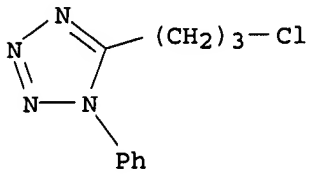
RN 73963-32-3 CA
CN 1H-Tetrazole, 5-(chloromethyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



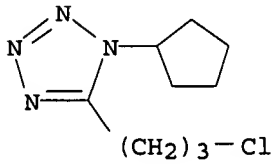
RN 73963-33-4 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



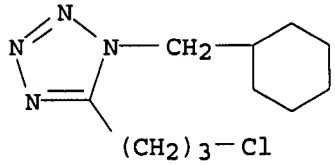
RN 73963-34-5 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-phenyl- (9CI) (CA INDEX NAME)



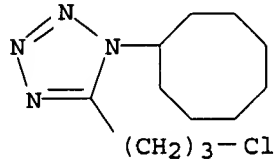
RN 73963-36-7 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclopentyl- (9CI) (CA INDEX NAME)



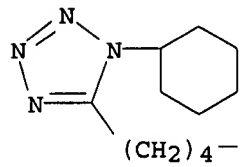
RN 73963-37-8 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)



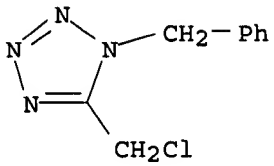
RN 73963-38-9 CA
CN 1H-Tetrazole, 5-(3-chloropropyl)-1-cyclooctyl- (9CI) (CA INDEX NAME)



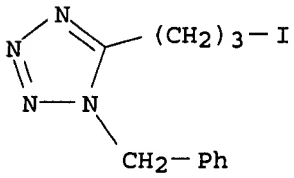
RN 73963-42-5 CA
CN 1H-Tetrazole, 5-(4-chlorobutyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



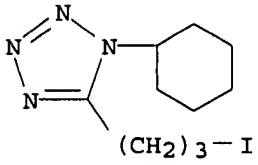
RN 73963-43-6 CA
CN 1H-Tetrazole, 5-(chloromethyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



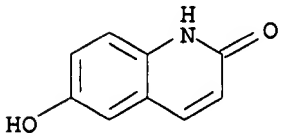
IT **73963-49-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-alkylation of hydroxycarbostyril by)
RN 73963-49-2 CA
CN 1H-Tetrazole, 5-(3-iodopropyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



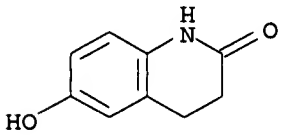
IT **73963-47-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-alkylation of hydroxycarbostyril deriv. by)
RN 73963-47-0 CA
CN 1H-Tetrazole, 1-cyclohexyl-5-(3-iodopropyl)- (9CI) (CA INDEX NAME)



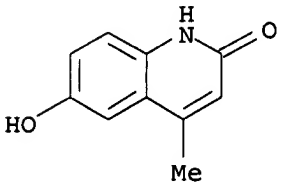
IT **19315-93-6 54197-66-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-alkylation of, by (haloalkyl)tetrazoles)
RN 19315-93-6 CA
CN 2(1H)-Quinolinone, 6-hydroxy- (9CI) (CA INDEX NAME)



RN 54197-66-9 CA
CN 2(1H)-Quinolinone, 3,4-dihydro-6-hydroxy- (9CI) (CA INDEX NAME)



IT **34982-01-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-alkylation of, by (halopropyl)tetrazole deriv.)
RN 34982-01-9 CA
CN 2(1H)-Quinolinone, 6-hydroxy-4-methyl- (9CI) (CA INDEX NAME)



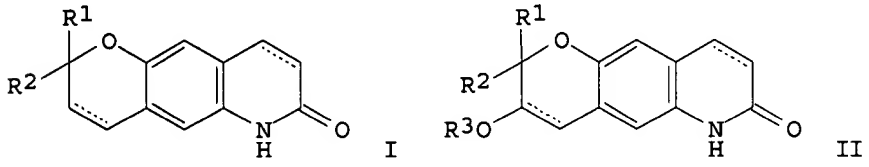
=> s l14 not l15
L16 1 L14 NOT L15

=> d ibib abs fhitstr

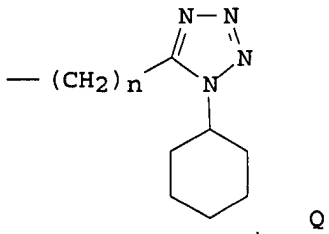
L16 ANSWER 1 OF 1 CA COPYRIGHT 2002 ACS
ACCESSION NUMBER: 117:7810 CA
TITLE: Preparation of pyranoquinoline derivatives as platelet-activating factor antagonists and pharmaceutical compositions containing them
INVENTOR(S): Mayuzumi, Kiyoshi; Tamaru, Kenji; Hisa, Hideyuki
PATENT ASSIGNEE(S): Kodama, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04013681	A2	19920117	JP 1990-113972	19900429

OTHER SOURCE(S): MARPAT 117:7810
GI



I II



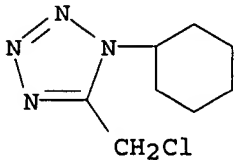
Q

AB The title derivs. I (R1, R2 = H, C1-4 alkyl; the dotted line may be double bond), II (R3 = H, Q; n= 1-4), and antithrombotic, antiasthmatic, antishock, antiallergic, and anti-inflammatory agents contg. I and/or II as active ingredients are claimed. 6-(1,1-Dimethyl-2-propynyloxy)-3,4-dihydroquinoline-2(1H)-one (1 g) (prepn. given) in PhNEt2 was stirred under reflux at 230.degree. for 3 h to give 950 mg I (R1 = R2 = Me, bonds between 3 and 4 position, and 8 and 9 position are double and single bonds, resp.), 1 g of which in dioxane was treated with DDQ under reflux at 120.degree. for 30 min to give 0.9 g I (R1 = R2 = Me, bonds between 3 and 4 position, and 8 and 9 position are both double bonds) (III). BF3-Et2O complex was added dropwise to a mixt. of III, NaBH4, and THF at room temp. over 30 min and the reaction mixt. was further stirred for 4 h to give 1.04 g II (R1 = R2 = Me, R3 = H, bonds between 3 and 4 position, and 8 and 9 position are single and double bonds, resp.). This (1 g) in Me2CHOH was treated with KOH at 90.degree. for 1 h, (1-cyclohexyltetrazol-5-yl)methyl chloride was added dropwise and the reaction mixt. was further stirred at 90.degree. for 6 h to give 0.4 g II [R1 = R2 = Me, R3 = (1-cyclohexyltetrazol-5-yl)methyl, bonds between 3 and 4 position, and 8 and 9 position are single and double bonds, resp.) (IV). IV inhibited platelet-activating factor-induced blood platelet aggregation at IC50 value 2.0 .mu.M. A compn. contg. IV 10, lactose 130, cryst. cellulose 30, hydroxypropyl starch 30, Mg stearate 1, and talc 4 g was made into tablets (10 mg IV/tablet).

IT **73963-32-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(etherification of, with hydoxypyranoquinolinone)

RN 73963-32-3 CA

CN 1H-Tetrazole, 5-(chloromethyl)-1-cyclohexyl- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 07:28:13 ON 16 DEC 2002)

FILE 'REGISTRY' ENTERED AT 07:28:19 ON 16 DEC 2002
L1 STRUCTURE UPLOADED
L2 3 S L1 SAM
L3 58 S L1 FULL

FILE 'CA' ENTERED AT 07:28:56 ON 16 DEC 2002
L4 282 S L3
L5 7518 S PHASE TRANSFER CATALYS?
L6 3 S L4 AND L5

FILE 'REGISTRY' ENTERED AT 07:30:12 ON 16 DEC 2002
L7 STRUCTURE UPLOADED
L8 STRUCTURE UPLOADED
L9 STRUCTURE UPLOADED
L10 1012 S L8 FULL
L11 126 S L9 FULL

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     FILE 'CA' ENTERED AT 07:31:44 ON 16 DEC 2002
L12          350 S L10
L13          190 S L11
L14           12 S L12 AND L13
L15           11 S L14 AND L4
L16            1 S L14 NOT L15

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 07:33:18 ON 16 DEC 2002
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